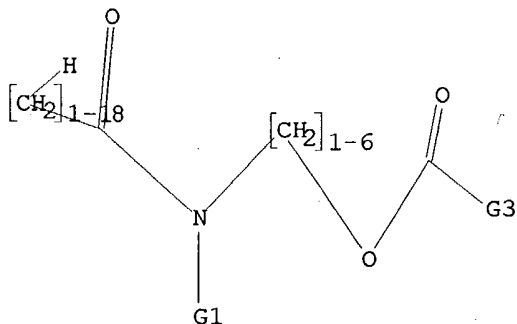


10/030,061



Structure attributes must be viewed using STN Express query preparation.

=> s 19

SAMPLE SEARCH INITIATED 13:52:54 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 11706 TO ITERATE

8.5% PROCESSED 1000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

3 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 227640 TO 240600  
PROJECTED ANSWERS: 347 TO 1057

L10 3 SEA SSS SAM L9

=> file caplus

COST IN U.S. DOLLARS

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| 11.34      | 11.55   |

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 13:53:04 ON 27 JUN 2004  
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FILE COVERS 1907 - 27 Jun 2004 VOL 141 ISS 1  
FILE LAST UPDATED: 25 Jun 2004 (20040625/ED)

10/030,061

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 110

L11 5 L10

=> d 111 1-5 ibib abs hitstr

L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:289523 CAPLUS

DOCUMENT NUMBER: 129:4570

TITLE: Preparation of 4-(1-carbamoyl-4-oxo-2-azetidinyloxy)benzamides and analogs as elastase inhibitors

INVENTOR(S): Doherty, James; Dorn, Conrad; Durette, Philippe; Finke, Paul; Maccoss, Malcolm; Mills, Sander; Shah, Shrenik; Sahoo, Soumya; Hagmann, William; Hale, Jeffrey; Lanza, Thomas

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 33 pp., Cont. of U.S. Ser. No. 416,771, abandoned.

CODEN: USXXAM

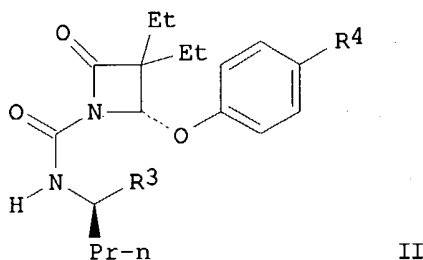
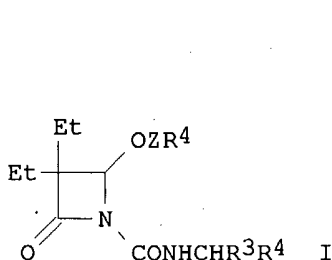
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE            | APPLICATION NO. | DATE        |
|------------------------|------|-----------------|-----------------|-------------|
| US 5747485             | A    | 19980505        | US 1997-848076  | 19970605    |
| CN 1206004             | A    | 19990127        | CN 1998-109505  | 19980529    |
| PRIORITY APPLN. INFO.: |      |                 | US 1995-416771  | B1 19950413 |
| OTHER SOURCE(S):       |      | MARPAT 129:4570 |                 |             |
| GI                     |      |                 |                 |             |



AB Title compds. [I; R = alkyl; R1 = (alkoxy)alkyl; R2 = H, (hydroxy)alkyl, alkenyl, haloalkyl, alkoxyalkyl; R3 = (un)substituted Ph; R4 = QCOYNR7R8 or Q = CO2Rx; Q = bond or CR5R6; R5, R6 = H or alkyl; R7, R8 = H, (un)substituted alkyl, alkanoyl, (un)substituted Ph, etc.; Rx = CO2H, Z1CO2CH2Ph, Z1CO2CMe3; Y = Z2(CHR12)nCR10R11; Z = (un)substituted phenylene; Z1 = alkylene; Z2 = O or NR9; R9 = H, (alkoxy)alkyl, phenyl(alkyl), pyridyl(alkyl); R10, R11 = H, (alkoxy)alkyl, aryl; R10R11 = O; R12 = H or alkyl; n = 1-5] were prepared. Thus, azetidinidyloxybenzoic acid II (R3 = 4-MeC6H4) (III; R4 = CO2H) was esterified by BrCH2CO2CMe3 and the product amidated by HN(CH2CH2OH)2 to give III [R4 = CON(CH2CH2OH)2].

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Data for biol. activity of I were given.

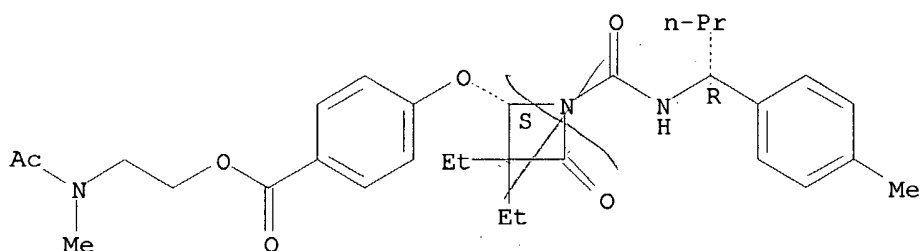
IT 157343-06-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 4-(1-carbamoyl-4-oxo-2-azetidinidyloxy)benzamides and analogs as elastase inhibitors)

RN 157343-06-1 CAPLUS

CN Benzoic acid, 4-[[[(2S)-3,3-diethyl-1-[[[(1R)-1-(4-methylphenyl)butyl]amino]carbonyl]-4-oxo-2-azetidinyl]oxy]-, 2-(acetylmethylamino)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:995041 CAPLUS

DOCUMENT NUMBER: 124:117073

TITLE: Preparation and formulation of N-benzylaminoacyl-4-phenoxyazetidinones for treatment of lung disease (cystic fibrosis).

INVENTOR(S): Davies, Philip

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

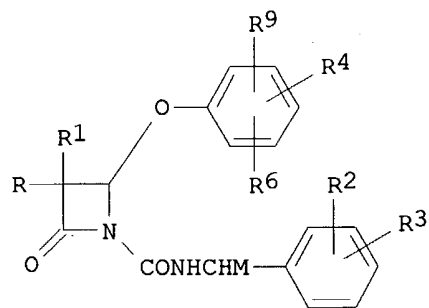
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE     |
|------------------------|--|----------|-----------------|----------|
| WO 9524207             | A1   | 19950914 | WO 1995-US2938  | 19950307 |
| W:                     | AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ |          |                 |          |
| RW:                    | KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG             |          |                 |          |
| CA 2184385             | AA   | 19950914 | CA 1995-2184385 | 19950307 |
| AU 9520994             | A1   | 19950925 | AU 1995-20994   | 19950307 |
| AU 686780              | B2   | 19980212 |                 |          |
| EP 755262              | A1   | 19970129 | EP 1995-913618  | 19950307 |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE   |          |                 |          |
| JP 09510212            | T2   | 19971014 | JP 1995-523641  | 19950307 |
| PRIORITY APPLN. INFO.: |  |          | US 1994-212420  | 19940311 |
|                        |  |          | WO 1995-US2938  | 19950307 |

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OTHER SOURCE(S):  
GI

MARPAT 124:117073



I

AB A pharmaceutical composition comprising a therapeutically effective, nontoxic amount of an (F)-actin shortening protein, a therapeutically effective amount of an elastase inhibitor, and a pharmaceutically acceptable carrier is claimed. More specifically, the protein is gelsolin and the elastase inhibitor is a title compound [I; R = alkyl; R1 = alkyl, alkoxyalkyl; M = H, alkyl, hydroxyalkyl, haloalkyl, alkenyl, alkoxyalkyl; Ra, Rb = H; R2, R3 = H, alkyl, halo, alkoxy; R2R3 = atoms to form a methylenedioxy group, furan ring; R4 = QCOYNR7R8; Q = bond; Y = NR9(CHR12)nCR10R11; R9-R12 = H, alkyl; R7, R8 = H, alkyl, alkoxyalkyl, hydroxyalkyl; n = 1-5; R8R9 = atoms to form a mono- or disubstituted heterocycle]. Compns. containing [S-(R\*,S\*)]-2-[4-[[[(4-methyl)piperazin-1-yl]carbonyl]phenoxy]-3,3-diethyl-N-[1-(3,4-methylenedioxyphenyl)butyl]-4-oxo-1-azetidinecarboxamide are claimed, as is a method for treating a patient with lung disease with the claimed compns. with amts. sufficient to return lung function to 75-90% of normal as measured by FEV1.

IT 157343-06-1P

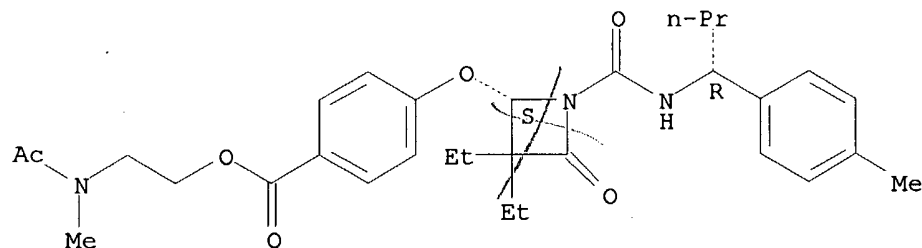
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and formulation of N-benzylaminoacyl-4-phenoxyazetidinones with gelsolin for treatment of lung disease)

RN 157343-06-1 CAPLUS

CN Benzoic acid, 4-[[[(2S)-3,3-diethyl-1-[[[(1R)-1-(4-methylphenyl)butyl]amino]carbonyl]-4-oxo-2-azetidinyl]oxy]-, 2-(acetylmethylamino)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/030,061

L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:700749 CAPLUS

DOCUMENT NUMBER: 121:300749

TITLE: Substituted azetidinones as antiinflammatory and antidegenerative agents

INVENTOR(S): Doherty, James B.; Finke, Paul E.; Dorn, Conrad P.; Maccoss, Malcolm; Durette, Philippe L.; Mills, Sander G.; Shah, Shrenik K.; Lanza, Thomas J.; Sahoo, Soumya P.; et al.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: Eur. Pat. Appl., 81 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| EP 595557   | A1   | 19940504 | EP 1993-308421  | 19931022 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE                                 |      |          |                 |          |
| CA 2108584  | AA   | 19940428 | CA 1993-2108584 | 19931018 |
| CA 2108584  | C    | 19981124 |                 |          |
| IL 107321   | A1   | 19980816 | IL 1993-107321  | 19931019 |
| WO 9410142  | A1   | 19940511 | WO 1993-US10268 | 19931026 |
| W: BB, BG, BR, BY, CZ, FI, HU, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ |      |          |                 |          |
| RW: BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| AU 9350283  | A1   | 19940512 | AU 1993-50283   | 19931026 |
| AU 663806   | B2   | 19951019 |                 |          |
| CN 1090272  | A    | 19940803 | CN 1993-119648  | 19931026 |
| CN 1043884  | B    | 19990630 |                 |          |
| ZA 9307949  | A    | 19940902 | ZA 1993-7949    | 19931026 |
| HU 72084  | A2   | 19960328 | HU 1995-1184    | 19931026 |
| RU 2148056  | C1   | 20000427 | RU 1995-109936  | 19931026 |
| JP 06263723   | A2   | 19940920 | JP 1993-292692  | 19931027 |
| JP 08002868   | B4   | 19960117 |                 |          |
| US 5591737  | A    | 19970107 | US 1993-168903  | 19931216 |
| FI 9501992  | A    | 19950426 | FI 1995-1992    | 19950426 |
| NO 9501593  | A    | 19950623 | NO 1995-1593    | 19950426 |

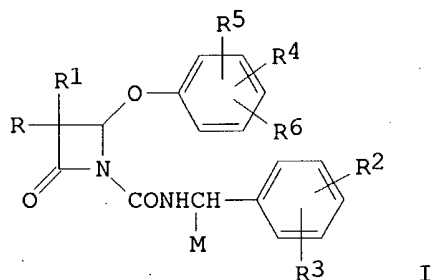
PRIORITY APPLN. INFO.:

|                 |   |          |
|-----------------|---|----------|
| US 1992-966800  | A | 19921027 |
| US 1992-991838  | A | 19921217 |
| WO 1993-US10268 | W | 19931026 |

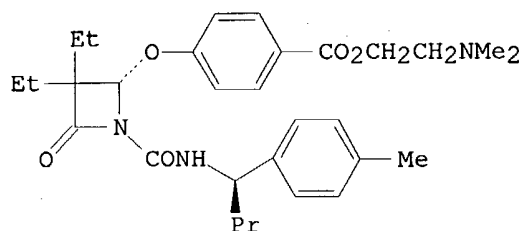
OTHER SOURCE(S): MARPAT 121:300749

GI

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I



II

AB The title compound (I; M = H, (un)substituted C1-6 alkyl, C1-6 alkenyl, etc.; R = C1-6 alkyl; R1 = C1-6 alkyl, alkoxyalkyl; R2, R3 = H, C1-6 alkyl, halogen, CO2H, C1-6 alkoxy, Ph, CN, etc.; R4 = (un)substituted carbonyl-containing substituent; R5, R6 = H, C1-6 alkyl, CO2H, C1-6 alkoxy, Ph, OH, etc.), which are potent inhibitors of human leukocyte elastase, useful as antiinflammatory agents, are prepared. Thus, azetidinone II was prepared and demonstrated a second-order rate constant per mol per s for inactivation of elastase of 1,566,000.

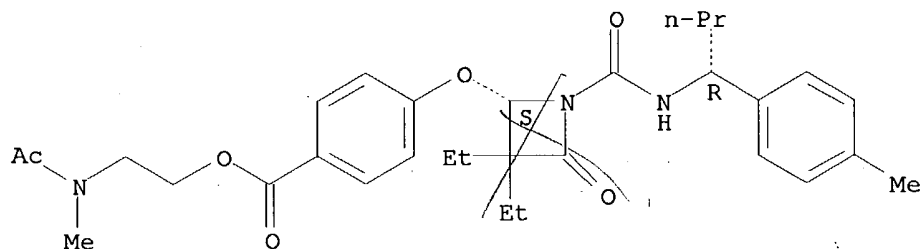
IT 157343-06-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as anti-inflammatory agent and inhibitor of human leukocyte elastase)

RN 157343-06-1 CAPLUS

CN Benzoic acid, 4-[[[(2S)-3,3-diethyl-1-[[[(1R)-1-(4-methylphenyl)butyl]amino]carbonyl]-4-oxo-2-azetidinyl]oxy]-, 2-(acetylmethylamino)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:79454 CAPLUS

DOCUMENT NUMBER: 120:79454

TITLE: On the mechanism of the esterification of a beta-hydroxyalkylamide with a carboxylic acid

AUTHOR(S): Stanssens, Dirk; Hermanns, Ralph; Worries, Herman

CORPORATE SOURCE: DSM Res., Geleen, 6160 MD, Neth.

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SOURCE: Proc. - Int. Conf. Org. Coat. Sci. Technol., 18th  
(1992), 435-47. Int. Conf. Org. Coag. Sci. Technol.:  
New Paltz, N. Y.

CODEN: 59BGAF

DOCUMENT TYPE: Conference

LANGUAGE: English

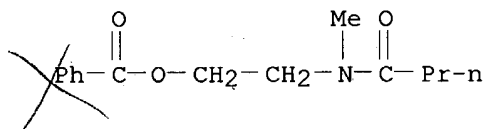
AB A  $\beta$ -hydroxyalkylamide reacts with a carboxylic acid to form an ester much faster than a normal alc. This reaction cannot be seen as a normal esterification, because it cannot be catalyzed by acids or bases. Model compds. were prepared for which calibration curves were then obtained by means of UV spectroscopy. A technique was developed for separating the starting materials and the expected reaction products by HPLC. In this way, quant. and qual. information about the reaction mixts. could be obtained as a function of time. The aforementioned method revealed the existence of an intermediate oxazolinium cation. The formation of this compound plays a key role in the esterification. Besides the expected ester and the intermediate, various other reaction products were determined. All of the reaction products could be obtained in a pure state with the aid of preparative HPLC. The structures of the compds. were then studied by <sup>1</sup>H-NMR spectrometry. A reaction mechanism was proposed by which all the exptl. data can be explained.

IT 152656-53-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as models for curing of coatings)

RN 152656-53-6 CAPLUS

CN Butanamide, N-[2-(benzoyloxy)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:479582 CAPLUS

DOCUMENT NUMBER: 109:79582

TITLE: Aspirin prodrugs: synthesis and hydrolysis of  
2-acetoxybenzoate esters of various  
N-(hydroxyalkyl)amides

AUTHOR(S): Bundgaard, Hans; Nielsen, Niels Moerk; Buur, Anders  
CORPORATE SOURCE: Dep. Pharm. Chem., R. Dan. Sch. Pharm., Copenhagen,  
DK-2100, Den.

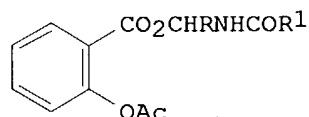
SOURCE: International Journal of Pharmaceutics (1988),  
44(1-3), 151-8

CODEN: IJPHDE; ISSN: 0378-5173

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I, R=H, R<sup>1</sup>=Me

II, R=H, R<sup>1</sup>=Ph

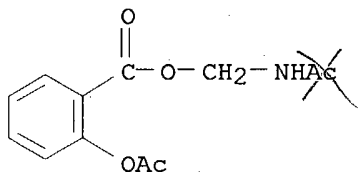
III, R=CO<sub>2</sub>CH<sub>2</sub>Ph, R<sup>1</sup>=Ph

AB Three new esters (I-III) of aspirin were synthesized and evaluated in vitro as potential prodrug forms of aspirin with the aim of depressing the

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gastrotoxicity of the drug by temporarily masking the carboxylic acid function. The esters all undergo a facile hydrolysis in aqueous solution of pH 0-8 with a quant. regeneration of aspirin. The compds. were very easily cleaved at pH 7.4 but were more stable at lower pH values. Due to the great lability at pH 7.4 the compds. were also cleaved quant. or predominantly to aspirin in the presence of human plasma rather than to the corresponding salicylate esters and hence salicylic acid.

IT 115695-32-4P, N-(O-Acetylsalicyloyloxymethyl)acetamide  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and hydrolysis of, as aspirin prodrug)  
RN 115695-32-4 CAPLUS  
CN Benzoic acid, 2-(acetyloxy)-, (acetylamino)methyl ester (9CI) (CA INDEX NAME)



=> file' reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

25.10

36.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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-3.47

FILE 'REGISTRY' ENTERED AT 13:54:38 ON 27 JUN 2004

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STRUCTURE FILE UPDATES: 25 JUN 2004 HIGHEST RN 699535-47-2

DICTIONARY FILE UPDATES: 25 JUN 2004 HIGHEST RN 699535-47-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

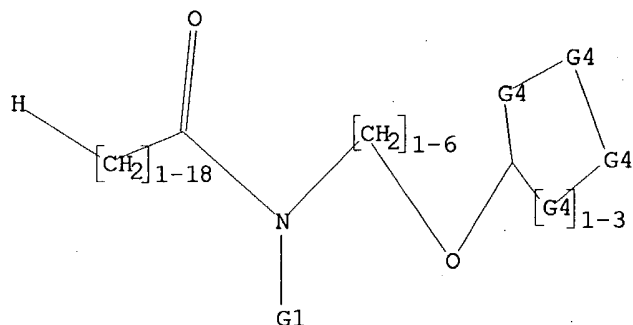
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\030061y.str



10/030,061



G1 H, Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

G2 Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

G3 O, N, CH2, Cy

G4 C, O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> s 114

SAMPLE SEARCH INITIATED 14:02:02 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 14406 TO ITERATE

6.9% PROCESSED 1000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

2 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 280934 TO 295306  
PROJECTED ANSWERS: 254 TO 898

L15 2 SEA SSS SAM L14

=> file caplus

| COST IN U.S. DOLLARS                       | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST                        | 5.46             | 42.11         |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE                        | 0.00             | -3.47         |

FILE 'CAPLUS' ENTERED AT 14:02:13 ON 27 JUN 2004

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FILE COVERS 1907 - 27 Jun 2004 VOL 141 ISS 1  
FILE LAST UPDATED: 25 Jun 2004 (20040625/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 115

L16 2 L15

=> d 116 1-2 ibib abs hitstr

L16 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:229159 CAPLUS

DOCUMENT NUMBER: 133:150792

TITLE: Mild elimination of a glycosidically linked  
-OCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> spacer arm

AUTHOR(S): Shipova, Ekaterina V.; Bovin, Nikolai V.

CORPORATE SOURCE: M.M.Shemyakin-Yu.A.Ovchinnikov Institute of Bioorganic  
Chemistry, Russian Academy of Sciences, Moscow,  
117871, Russia

SOURCE: Mendeleev Communications (2000), (2), 63-64

CODEN: MENCEX; ISSN: 0959-9436

PUBLISHER: Russian Academy of Sciences

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The spacer arm -OCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> of complex oligosaccharides can be removed  
by oxidative deamination followed by alkaline  $\beta$ -elimination.

IT **287194-79-0P**

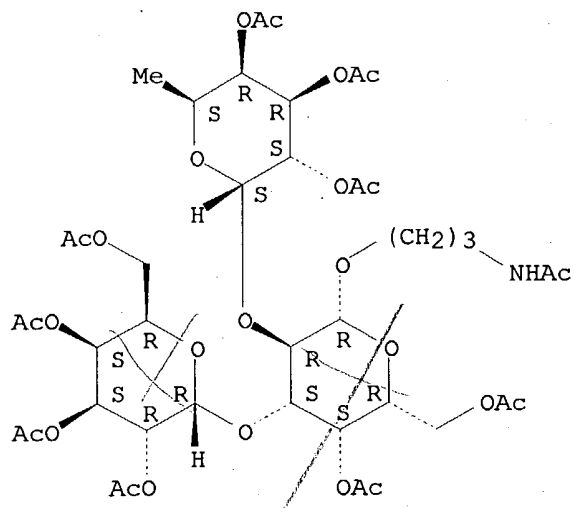
RL: SPN (Synthetic preparation); PREP (Preparation)  
(mild elimination of glycosidically linked aminopropyl spacer arm from  
blood-group trisaccharides)

RN 287194-79-0 CAPLUS

CN Acetamide, N-[3-[(O-2,3,4,6-tetra-O-acetyl- $\alpha$ -D-galactopyranosyl-  
(1 $\rightarrow$ 3)-O-[2,3,4-tri-O-acetyl-6-deoxy- $\alpha$ -D-galactopyranosyl-  
(1 $\rightarrow$ 2)]-4,6-di-O-acetyl- $\beta$ -D-galactopyranosyl)oxy]propyl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

10/030,061



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:8924 CAPLUS

DOCUMENT NUMBER: 120:8924

TITLE: Preparation of acidic glycolipids for pharmaceutical microparticle carriers such as liposomes

INVENTOR(S): Morikawa, Yasuri; Yamauchi, Hitoshi; Yano, Toshiro

PATENT ASSIGNEE(S): Dei Dei Esu Kenkyusho Kk, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 40 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

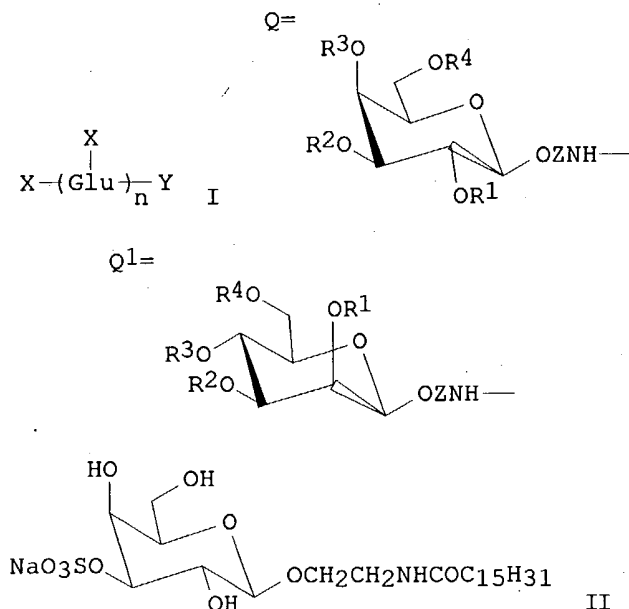
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------|------|----------|-----------------|----------|
| JP 05186491 | A2   | 19930727 | JP 1991-259647  | 19910911 |
| JP 07110872 | B4   | 19951129 |                 |          |

PRIORITY APPLN. INFO.: JP 1990-254706 19900925

OTHER SOURCE(S): MARPAT 120:8924

GI



AB Acidic glycolipids [I;  $X = Q, Q1$ ;  $R1 - R4 = H, SO3Q, PO3Q2$  ( $Q = H$ , alkali or alkaline earth metal,  $NH4$ ), provided that  $\geq 1$  of  $R1 - R4 = SO3Q$  or  $PO3Q2$  and the other(s) =  $H$ ;  $Z = C2-8$  alkylene;  $Glu =$  glutamic acid residue;  $n = 0-2$ ;  $Y = CmH2m+1CO$ ;  $m = 11-19$ ], which are pharmaceutical microparticle carriers not readily trapped by endothelial cell systems, e.g. liver and spleen, and are capable of maintaining serum drug concentration for a long period of time and increasing drug delivery into cancer tissues, are prepared. Thus, glycosidation of 2,3,4,6-tetra-O-acetyl-D-galactopyranosyl bromide with 2-adizoethanol in the presence of  $HgBr2$ ,  $Hg(CN)2$ , and mol. sieve 3A in  $CH2Cl2$  gave 2-adizoethyl 2,3,4,6-tetra-O-acetyl- $\beta$ -D-galactopyranoside which was converted into 2-aminoethyl 2,4,6-tri-O- $\beta$ -D-galactopyranoside in 6 steps. Amidation of the latter with palmitic acid N-hydroxysuccinimide ester in  $CH2Cl2$  followed by sulfurization with  $SO3$ .pyridine complex in DMF and hydrogenolysis over 10% Pd-C in MeOH gave a galactoside (II). A total of 9 I were prepared. 3H-inulin-containing liposomes prepared from L- $\alpha$ -dipalmitoylphosphatidylcholine, II, and cholesterol were injected into the jugular vein of rats transplanted with rat breast cancer cells; the concentration of 3H-inulin in blood plasma and the tumor was 335.4 nmol/mL and 261.5 nmol/g tissue, resp., vs. 250.1 and 169.3 nmol/g tissue, resp., for control liposomes prepared from L- $\alpha$ -dipalmitoylphosphatidylcholine, dicetyl phosphate, and cholesterol.

IT **151651-49-9P**

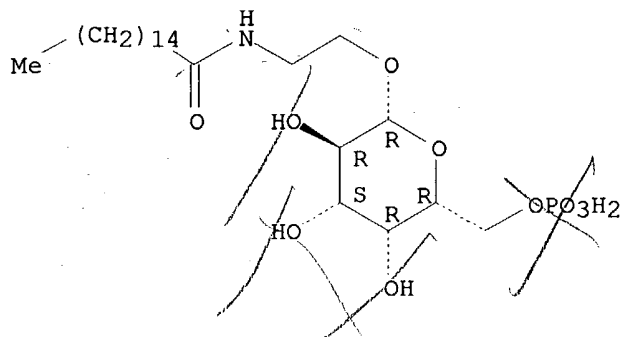
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, for liposome)

RN 151651-49-9 CAPLUS

CN Hexadecanamide, N-[2-[(6-O-phosphono- $\beta$ -D-galactopyranosyl)oxy]ethyl]-, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/030,061



●2 Na

=> file reg

COST IN U.S. DOLLARS

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| 10.39      | 52.50   |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| -1.39      | -4.86   |

CA SUBSCRIBER PRICE

FILE 'REGISTRY' ENTERED AT 14:03:09 ON 27 JUN 2004

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 25 JUN 2004 HIGHEST RN 699535-47-2

DICTIONARY FILE UPDATES: 25 JUN 2004 HIGHEST RN 699535-47-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\030061z.str

L17 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\Stnexp\Queries\030061y.str

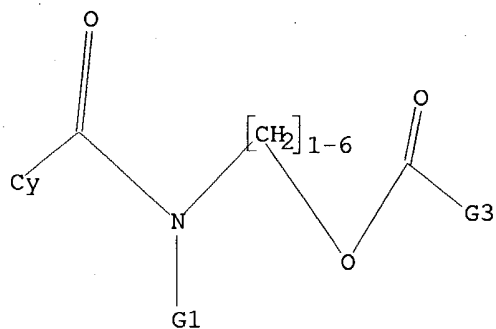
L18 STRUCTURE UPLOADED

10/030,061

=> d 117

L17 HAS NO ANSWERS

L17 STR



G1 H, Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

G2 Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

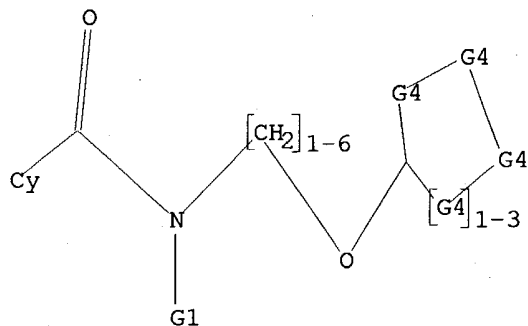
G3 O, N, CH2, Cy

Structure attributes must be viewed using STN Express query preparation.

=> d 118

L18 HAS NO ANSWERS

L18 STR



G1 H, Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

G2 Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

G3 O, N, CH2, Cy

G4 C, O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> s 117

SAMPLE SEARCH INITIATED 14:05:23 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 14723 TO ITERATE

6.8% PROCESSED 1000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

4 ANSWERS

10/030,061

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 287196 TO 301724  
PROJECTED ANSWERS: 717 TO 1637

L19 4 SEA SSS SAM L17

=> s l18

SAMPLE SEARCH INITIATED 14:05:30 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 16956 TO ITERATE

5.9% PROCESSED 1000 ITERATIONS 21 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 331327 TO 346913  
PROJECTED ANSWERS: 5989 TO 8253

L20 21 SEA SSS SAM L18

=> file caplus

|  |            |         |
|--|------------|---------|
| COST IN U.S. DOLLARS                       | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| FULL ESTIMATED COST                        | 1.68       | 54.18   |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| CA SUBSCRIBER PRICE                        | 0.00       | -4.86   |

FILE 'CAPLUS' ENTERED AT 14:05:42 ON 27 JUN 2004  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907 - 27 Jun 2004 VOL 141 ISS 1  
FILE LAST UPDATED: 25 Jun 2004 (20040625/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l19

L21 5 L19

=> d l21 1-5 ibib abs hitstr

10/030,061

L21 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:533992 CAPLUS

DOCUMENT NUMBER: 111:133992

TITLE: Pyridinium derivatives and their production,  
pharmaceutical compositions, and use as antagonists of  
platelet activating factor

INVENTOR(S): Tsushima, Susumu; Takatani, Muneo; Nishikawa, Kohei

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 164 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| EP 301751                                     | A1   | 19890201 | EP 1988-306622  | 19880720   |
| EP 301751                                     | B1   | 19930310 |                 |            |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |            |
| AT 86614                                      | E    | 19930315 | AT 1988-306622  | 19880720   |
| ZA 8805304                                    | A    | 19900328 | ZA 1988-5304    | 19880721   |
| IL 87189                                      | A1   | 19960723 | IL 1988-87189   | 19880722   |
| JP 02076854                                   | A2   | 19900316 | JP 1988-186494  | 19880725   |
| JP 2756975                                    | B2   | 19980525 |                 |            |
| US 4962113                                    | A    | 19901009 | US 1988-224352  | 19880726   |
| AU 8820101                                    | A1   | 19890209 | AU 1988-20101   | 19880727   |
| AU 613653                                     | B2   | 19910808 |                 |            |
| DK 8804214                                    | A    | 19890201 | DK 1988-4214    | 19880728   |
| CA 1339645                                    | A1   | 19980127 | CA 1988-573439  | 19880729   |
| KR 125929                                     | B1   | 19971226 | KR 1988-9705    | 19880730   |
| PRIORITY APPLN. INFO.:                        |      |          | JP 1987-193479  | A 19870731 |
|   |      |          | JP 1988-138908  | A 19880606 |
|   |      |          | EP 1988-306622  | A 19880720 |

OTHER SOURCE(S): MARPAT 111:133992

GI For diagram(s), see printed CA Issue.

AB Title compds. I [R1 = alkyl, aralkyl; R7, R10 = H, alkyl, aryl, aralkyl; 1 = 0, 1; R5 = (un)substituted C6H4 or alkylene; R11 = alkyl, aryl; X = CH2OCH2, (CHR6)m; R6 = H, alkyl, alkoxy; m = 0-3; U = OCO, NR4CO, NR4SO2; R4 = H, alkyl, aryl, aralkyl; Y, Z = divalent chain containing 1-6 of O, NR, CO, S, and SO2, with ≥1 member being O or NR; R = H, alkyl, acyl, aryl; pyridine ring is optionally substituted; W- = counter anion; R may form ring with another R, R4, or R11] are prepared as antagonists of platelet activating factor (PAF). N-[2-(1,2,3,4-Tetrahydroisoquinolyl)carbonyloxyethyl]-3-anilinopropanamide (prepared in 4 steps) was condensed with 5-chloronicotinic acid chloride hydrochloride to give 63.0% of corresponding nicotinamide, which underwent quaternization by PrI and anion exchange on a resin to give 75.6% chloro-N, N-[[[(tetrahydroisoquinolyl)carbonyloxy]ethyl]carbonyl]ethyl]{phenyl}carbonyl(propyl)pyridinium chloride II. At 3 mg/kg orally in rats, 1 h prior to dosing with 1 µg/kg i.v. of PAF, II gave 93% inhibition of PAF-induced hypotension.

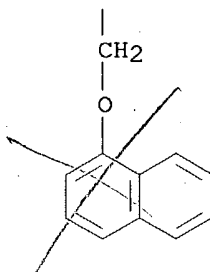
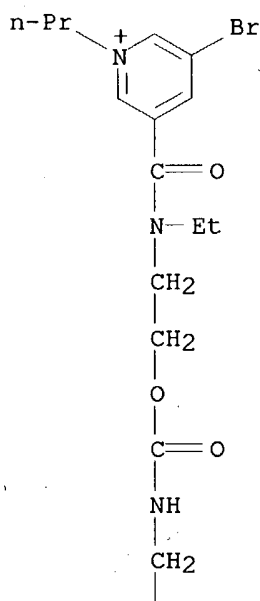
IT 121492-33-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as platelet activating factor antagonist)

RN 121492-33-9 CAPLUS

CN Pyridinium, 3-bromo-5-[[ethyl[2-[[[2-(1-naphthalenyloxy)ethyl]amino]carbonyloxy]ethyl]amino]carbonyl]-1-propyl-, iodide (9CI) (CA INDEX NAME)



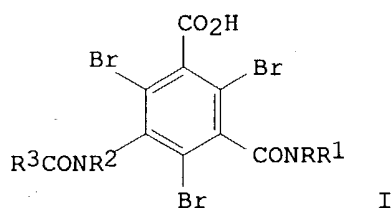


● I-

L21 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1983:470400 CAPLUS  
DOCUMENT NUMBER: 99:70400  
TITLE: Brominated compounds for use as opaquing agents  
INVENTOR(S): Dimo, Ioana; Bonnemain, Bruno; Hardouin, Michel Jean  
Charles; Lautrou, Jean  
PATENT ASSIGNEE(S): Guerbet S. A., Fr.  
SOURCE: Eur. Pat. Appl., 61 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

10/030,061

| PATENT NO.                                    | KIND | DATE              | APPLICATION NO. | DATE     |
|---|------|-------------------|-----------------|----------|
| EP 73715                                      | A1   | 19830309          | EP 1982-401578  | 19820825 |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |                   |                 |          |
| FR 2512014                                    | A1   | 19830304          | FR 1981-16477   | 19810828 |
| FR 2512014                                    | B1   | 19850308          |                 |          |
| ZA 8206034                                    | A    | 19840328          | ZA 1982-6034    | 19820819 |
| AU 8287473                                    | A1   | 19830303          | AU 1982-87473   | 19820820 |
| HU 31093                                      | O    | 19840428          | HU 1982-2769    | 19820827 |
| JP 58052244                                   | A2   | 19830328          | JP 1982-149832  | 19820828 |
| DD 205892                                     | A5   | 19840111          | DD 1982-242886  | 19820830 |
| PRIORITY APPLN. INFO.:                        |      |                   | FR 1981-16477   | 19810828 |
| OTHER SOURCE(S):                              |      | CASREACT 99:70400 |                 |          |
| GI  |      |                   |                 |          |



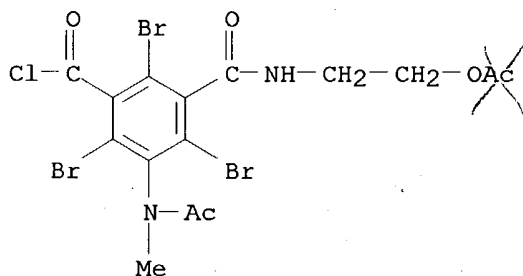
AB Tribromobenzoic acids I (R, R3 = H, alkyl, hydroxyalkyl, acyloxyalkyl; R1 = hydroxyalkyl, acyloxyalkyl; R2 = H, alkyl, hydroxyalkyl) and their salts were prepared. Thus 3-amino-5-(2-hydroxyethylcarbamoyl)benzoic acid with tribrominated with Br and HCl and N-acetylated to give I (R = HOCH2CH2, R1 = R2 = H, R3 = Me) which was mixed 1:1 with Telebrix to give an x-ray contrast medium.

IT: **86216-53-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, with hydroxyethylcarbamoyltribromobenzoic acid)

RN 86216-53-7 CAPLUS

CN Benzoyl chloride, 3-(acetylmethylamino)-5-[[[2-(acetyloxy)ethyl]amino]carbonyl]-2,4,6-tribromo- (9CI) (CA INDEX NAME)



L21 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1983:428012 CAPLUS

DOCUMENT NUMBER: 99:28012

TITLE: Opacifier compositions containing tribromobenzamide

10/030,061

INVENTOR(S): derivatives and iodinated compounds  
Dimo, Ioana; Bonnemain, Bruno; Hardouin, Michel Jean  
Charles; Lautrou, Jean  
PATENT ASSIGNEE(S): Guerbet S. A., Fr.  
SOURCE: Eur. Pat. Appl., 64 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.                                | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| EP 74309                                  | A1   | 19830316 | EP 1982-401580  | 19820825 |
| R: BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |          |
| FR 2511871                                | A1   | 19830304 | FR 1981-16478   | 19810828 |
| FR 2511871                                | B1   | 19831223 |                 |          |
| US 4474747                                | A    | 19841002 | US 1982-409291  | 19820818 |
| ZA 8206033                                | A    | 19840328 | ZA 1982-6033    | 19820819 |
| AU 8287474                                | A1   | 19830303 | AU 1982-87474   | 19820820 |
| NO 8202908                                | A    | 19830301 | NO 1982-2908    | 19820827 |
| FI 8202979                                | A    | 19830301 | FI 1982-2979    | 19820827 |
| DK 8203837                                | A    | 19830301 | DK 1982-3837    | 19820827 |
| JP 58074620                               | A2   | 19830506 | JP 1982-149833  | 19820828 |

PRIORITY APPLN. INFO.: FR 1981-16478 19810828

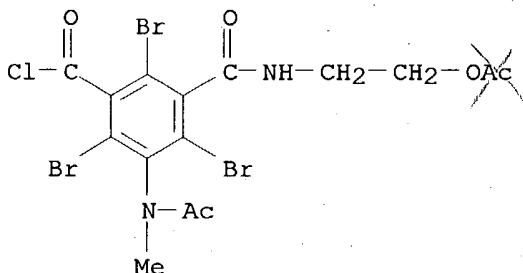
AB Mixts. of iodinated radiog. opacifiers, e.g., Contrix and Telebrix, and brominated analogs had lower toxicity and higher tolerance than the iodinated agents alone without affecting the opacity magnitude of the iodinated agents. Thus, 2,4,6-tribromo-3-(methylcarbamoyl)-5-(acetylamino)benzoic acid (I) [86216-38-8] was prepared by the acetylation of 2,4,6-tribromo-3-(methylcarbamoyl)-5-aminobenzoic acid [86216-37-7] with Ac2O. Injections were prepared containing 30 g Contrix, 25 g I methylglucamine salt [86216-89-9] and H2O q.s.p. 100 mL.

IT **86216-53-7P**

RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acylation by, of aminoacetamidobenzoic acid derivative)

RN 86216-53-7 CAPLUS

CN Benzoyl chloride, 3-(acetylmethylamino)-5-[[[2-(acetyloxy)ethyl]amino]carbonyl]-2,4,6-tribromo- (9CI) (CA INDEX NAME)



L21 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:438930 CAPLUS

DOCUMENT NUMBER: 87:38930

TITLE: N-(Furoyloxyethyl) fatty acid amides

INVENTOR(S): Bailey, August V.; Boudreaux, Gordon J.; Sumrell, Gene; Novak, Arthur F.

10/030,061

PATENT ASSIGNEE(S): United States Dept. of Agriculture, USA  
SOURCE: U.S., 5 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| US 4017522 | A    | 19770412 | US 1976-667063  | 19760315 |
| US 667063  | A0   | 19760307 | US 1976-667063  | 19760315 |

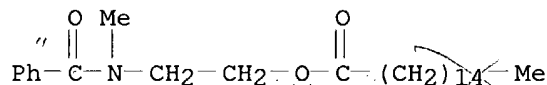
PRIORITY APPLN. INFO.: US 1976-667063 19760315

AB Twenty-six fatty acid amides, e.g., (PhCO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NCO(CH<sub>2</sub>)<sub>10</sub>Me, [Me(CH<sub>2</sub>)<sub>16</sub>CO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>]<sub>2</sub>NCOPh, N,N-bis[(2-furoyloxy)ethyl]oleamide, PhCO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NMeCO(CH<sub>2</sub>)<sub>14</sub>Me, were prepared by esterification of hydroxyethyl amides with acyl chlorides. The antimicrobial activity of the amides against Staphylococcus aureus, Candida albicans, etc. was determined

IT **63057-12-5P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antimicrobial activity of)

RN 63057-12-5 CAPLUS

CN Hexadecanoic acid, 2-(benzoylmethylamino)ethyl ester (9CI) (CA INDEX NAME)



L21 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1977:400707 CAPLUS  
DOCUMENT NUMBER: 87:707  
TITLE: New antimicrobial fatty ester amides  
INVENTOR(S): Novak, Arthur F.  
PATENT ASSIGNEE(S): United States Dept. of Agriculture, USA  
SOURCE: U. S. Pat. Appl., 7 pp. Avail. NTIS.  
CODEN: XAXXAV  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| US 667063  | A0   | 19760307 | US 1976-667063  | 19760315 |
| US 4017522 | A    | 19770412 | US 1976-667063  | 19760315 |

PRIORITY APPLN. INFO.: US 1976-667063 19760315

AB A number of fatty ester amides were synthesized and screened for their antimicrobial activities against bacteria, yeast, and molds. Many of the compds. were effective against one or more of the microorganisms when saturated filter paper disks were placed on the surface of agar plates inoculated with the test organisms.

IT **63057-12-5P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP

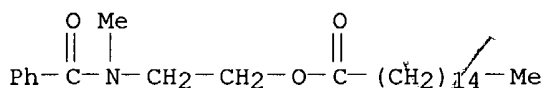
10/030,061

(Preparation); USES (Uses)

(preparation and antimicrobial activity of)

RN 63057-12-5 CAPLUS

CN Hexadecanoic acid, 2-(benzoylmethylamino)ethyl ester (9CI) (CA INDEX NAME)



=> s 120

L22 24 L20

=> d 122 1-24 ibib abs hitstr

L22 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:99623 CAPLUS

DOCUMENT NUMBER: 140:304147

TITLE: Synthesis of Terpyridine-Containing Polymers with Blocky Architectures

AUTHOR(S): Aamer, Khaled A.; Tew, Gregory N.

CORPORATE SOURCE: Department of Polymer Science and Engineering, University of Massachusetts, Amherst, MA, 01003, USA

SOURCE: Macromolecules (2004), 37(5), 1990-1993

CODEN: MAMOBX; ISSN: 0024-9297

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The paper reports the synthesis and characterization of block-random polymer architectures based on styrene and Me methacrylate with narrow polydispersity index containing terpyridine in the side chain using living controlled radical polymerization

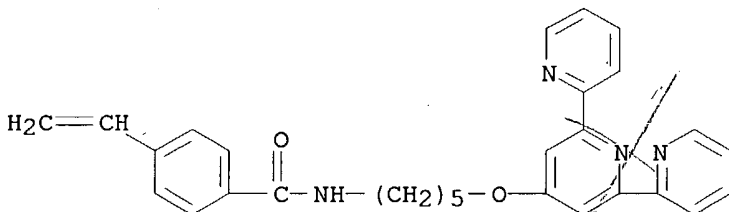
IT 676445-94-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(monomer; synthesis of terpyridine-containing styrene polymers with random and block architectures)

RN 676445-94-6 CAPLUS

CN Benzamide, 4-ethenyl-N-[5-([2,2':6',2''-terpyridin]-4'-yloxy)pentyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

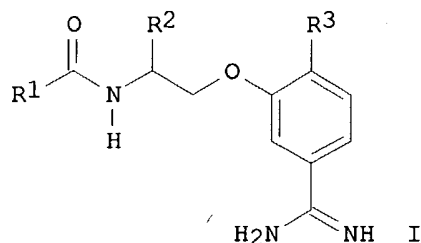
37

THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

10/030,061

ACCESSION NUMBER: 2003:278889 CAPLUS  
DOCUMENT NUMBER: 139:21996  
TITLE: Rational Design, Synthesis, and Structure-Activity Relationships of Novel Factor Xa Inhibitors: (2-Substituted-4-amidinophenyl)pyruvic and -propionic Acids  
AUTHOR(S): Sagi, Kazuyuki; Nakagawa, Tadakiyo; Yamanashi, Masahiro; Makino, Shingo; Takahashi, Mitsuo; Takayanagi, Masaru; Takenaka, Kaoru; Suzuki, Nobuyasu; Oono, Seiji; Kataoka, Noriyasu; Ishikawa, Kohki; Shima, Sayaka; Fukuda, Yumiko; Kayahara, Takashi; Takehana, Shunji; Shima, Yoichiro; Tashiro, Kazumi; Yamamoto, Hiroshi; Yoshimoto, Ryota; Iwata, Seinosuke; Tsuji, Takashi; Sakurai, Kuniya; Shoji, Masataka  
CORPORATE SOURCE: Pharmaceutical Research Laboratories, Ajinomoto Company Inc., Kawasaki-ku, Kawasaki-shi, 210-8681, Japan  
SOURCE: Journal of Medicinal Chemistry (2003), 46(10), 1845-1857  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 139:21996  
GI



AB An inhibitor of factor Xa (fXa), the m-substituted benzamidine AXCl578 I [R1 = 4-(H2NC:NH)C6H4; R2 = R3 = H; (II)], was structurally modified with the aim of increasing its potency. In particular, pyruvic acid and propionic acid substituents were incorporated into the P1 benzamidine moiety (R3) to introduce a favorable interaction with the oxy-anion hole in the catalytic triad region of fXa. This strategy was based on computational docking studies using the extracted active site of fXa. The validity of the computational model was supported by the acquisition of X-ray crystal structures of the II-trypsin and I [R1 = 4-[4-(1-methylamidinopiperidinyl)oxy]phenyl; R2 = H; R3 = HO2CCOCH2]-trypsin complexes (the homol. around the active sites of fXa and trypsin is high). The above modifications significantly increased the inhibitory activity toward fXa, whereas the high selectivity for fXa vs. thrombin was maintained or enhanced. I [R1 = 4-[4-(1-methylamidinopiperidinyl)oxy]phenyl, 4-[1-(4-pyridyl)]piperidinyl; R2 = H, HO2CCH2; R3 = HO2CCH2CH2, HO2CCOCH2] are considered to be potential lead compds. for the development of orally active anticoagulant drugs because they demonstrated potent activity when administered orally to cynomolgus monkeys.

IT 538335-90-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

10/030,061

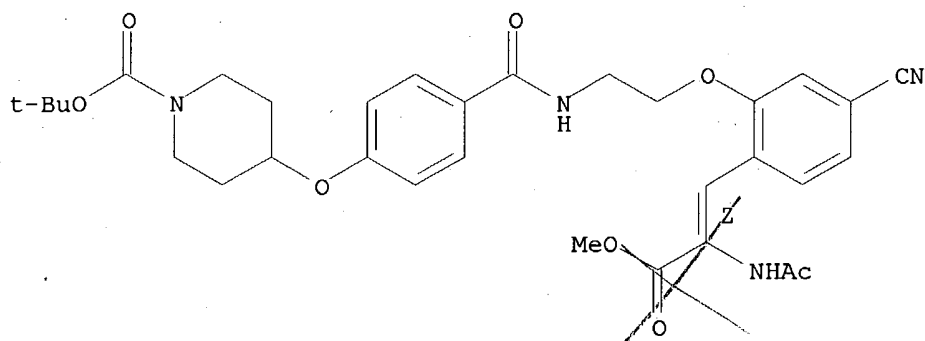
(Reactant or reagent)

(preparation of (acylamino)alkoxy benzamidines as factor Xa inhibitors and anticoagulants)

RN 538335-90-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[[[2-[2-[(1Z)-2-(acetylamino)-3-methoxy-3-oxo-1-propenyl]-5-cyanophenoxy]ethyl]amino]carbonyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:869577 CAPLUS

DOCUMENT NUMBER: 134:37034

TITLE: Amidocarboxylate derivatives as sugar and lipid metabolism-improving agents

INVENTOR(S): Yanagisawa, Hiroaki; Sakurai, Mitsuya; Takamura, Minoru; Fujiwara, Toshihiko

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 317 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

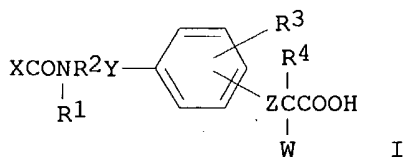
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE       |
|------------------------|------|----------|-----------------|------------|
| JP 2000344666          | A2   | 20001212 | JP 2000-98322   | 20000331   |
| PRIORITY APPLN. INFO.: |      |          | JP 1999-94840   | A 19990401 |

GI



AB Amidocarboxylate derivs. (I; R1 = H, etc.; R2= alkylene; R3, R4 = H, etc.; X = (substituted)aryl; Y = O, etc.; Z = alkylene, etc.; W = alkyl, etc.)

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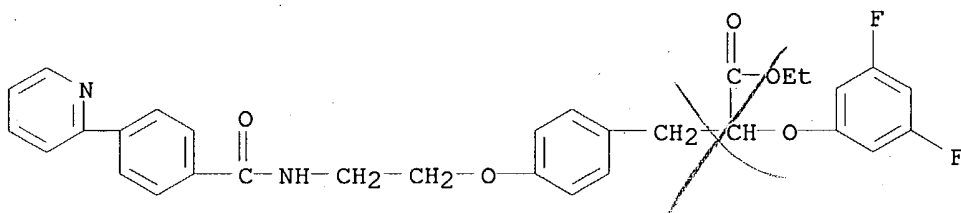
and their pharmacol. acceptable salts are claimed as sugar and lipid metabolism-improving agents, hypoglycemics against insulin resistance and diabetic complications, antiinflammatory agents, immunomodulators, aldose reductase inhibitors, antioxidants for inhibiting lipid peroxides, antiosteoporotics, neuroprotectants against apoptosis including Alzheimer's disease and stroke, hypolipidemics, antiobesity agents, ovary polycystic syndrome inhibitors, antiarteriosclerotics, allergy inhibitors, antiasthmatics, antihypertensives, and rheumatoid arthritis inhibitors. I were prepared, and formulation examples of capsules, tablets, and granules were given.

IT 223125-94-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(amidocarboxylate derivs. as sugar and lipid metabolism-improving agents)

RN 223125-94-8 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -(3,5-difluorophenoxy)-4-[2-[[4-(2-pyridinyl)benzoyl]amino]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



L22 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:608717 CAPLUS

DOCUMENT NUMBER: 133:207678

TITLE: Preparation of sulfonamide derivs. as amyloid  $\beta$  production inhibitors useful in treating or preventing diseases related to A $\beta$

INVENTOR(S): Smith, David W.; Munoz, Benito; Srinivasan, Kumar; Bergstrom, Carl P.; Chaturvedula, Prasad V.; Deshpande, Milind S.; Keavy, Daniel J.; Lau, Wai Yu; Parker, Michael F.; Sloan, Charles P.; Wallace, Owen B.; Wang, Henry Hui

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Bristol-Myers Squibb Company

SOURCE: PCT Int. Appl., 377 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

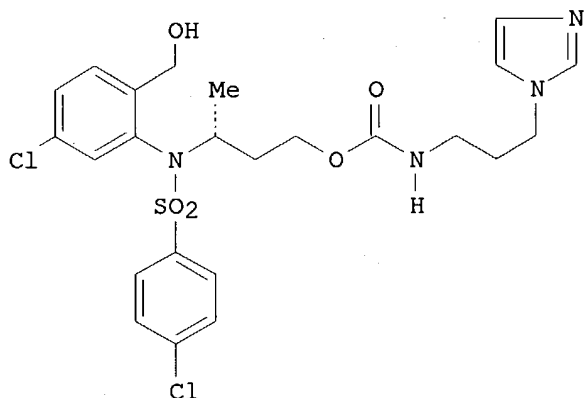
PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2000050391 | A1   | 20000831 | WO 2000-US4560  | 20000222 |
| W:            | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |          |
| RW:           | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  |          |                 |          |



10/030,061

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
EP 1159263 A1 20011205 EP 2000-910293 20000222  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO  
BR 2000008965 A 20020226 BR 2000-8965 20000222  
JP 2002537376 T2 20021105 JP 2000-600975 20000222  
NZ 514453 A 20030429 NZ 2000-514453 20000222  
ZA 2001006646 A 20021113 ZA 2001-6646 20010813  
NO 2001004135 A 20010927 NO 2001-4135 20010824  
PRIORITY APPLN. INFO.: US 1999-121906P P 19990226  
US 1999-122746P P 19990226  
US 1999-122748P P 19990226  
US 1999-130994P P 19990423  
US 1999-130995P A2 19990423  
WO 2000-US4560 W 20000222  
OTHER SOURCE(S): MARPAT 133:207678  
GI



AB Title compds. [(D)(G)CHN(E)SO<sub>2</sub>(J); D = H, alkyl, heterocycle, halo, alkoxy, ester, amide; G = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, (CHR<sub>1</sub>)nO(CHR<sub>2</sub>)mCONR<sub>3</sub>R<sub>4</sub>, heterocycle, aryl, amine, amide, ester, ether, carbamate; D-G = cyclic; n = 1, 2, 3, 4; m = 0, 1, 2, 3, 4; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> are independently H, alkyl; R<sub>3</sub>-R<sub>4</sub> = cyclic; E = H, alkyl, alkenyl, alkynyl, heterocycle, aryl, alkoxy, amide, sulfonyl, sulfonamidyl, sulfide; J = alkyl, alkenyl, alkynyl, aryl, heterocycle, polycyclic; J-E = cyclic], pharmaceutically acceptable salts, and composition comprising title compds. are prepared Title compds. can act to modulate production of amyloid  $\beta$  protein (APP751, APP695wt, APP670/671, APP670/671/717, sAPP,  $\alpha$ -sAPP,  $\beta$ -sAPP) and are useful in the prevention or treatment of a variety of diseases; such diseases are amyloid angiopathy, cerebral amyloid angiopathy, systemic amyloidosis, Alzheimer's disease, hereditary cerebral hemorrhage with amyloidosis of the Dutch type, inclusion body myositis, and Down's syndrome. Thus, the title compound I was prepared and tested.

IT 290324-46-8P

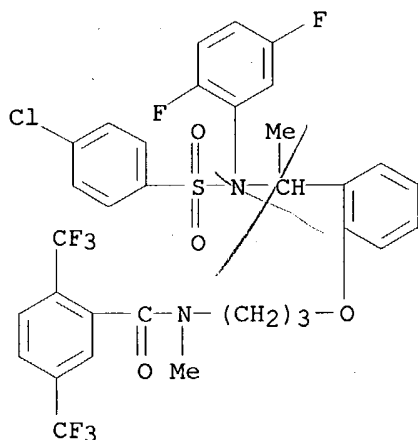
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of sulfonamide derivs. as amyloid  $\beta$  production inhibitors useful in treating or preventing diseases related to A $\beta$ )

RN 290324-46-8 CAPLUS

CN Benzamide, N-[3-[2-[1-[[4-chlorophenyl)sulfonyl](2,5-

10/030,061

difluorophenyl)amino]ethyl]phenoxy]propyl]-N-methyl-2,5-  
bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:244628 CAPLUS

DOCUMENT NUMBER: 130:296612

TITLE: Preparation of amidocarboxylic acid derivatives as  
inhibitors of aldose reductase, 5-lipoxygenase, and  
lipid peroxide formation and as peroxisome  
proliferator-activated receptor (PPAR) activators

INVENTOR(S): Yanagisawa, Hiroaki; Sakurai, Mitsuya; Takamura,  
Makoto; Fujiwara, Toshihiko

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 720 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO.   | DATE     |
|--|------|----------|-------------------|----------|
| WO 9918066   | A1   | 19990415 | WO 1998-JP4396    | 19980930 |
| W: AU, BR, CA, CN, CZ, HU, ID, IL, JP, KR, MX, NO, NZ, PL, RU, TR, US      |      |          |                   |          |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                   |          |
| CA 2305808   | AA   | 19990415 | CA 1998-2305808   | 19980930 |
| AU 9892798   | A1   | 19990427 | AU 1998-92798     | 19980930 |
| AU 738134  | B2   | 20010906 |                   |          |
| EP 1026149   | A1   | 20000809 | EP 1998-945527    | 19980930 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI  |      |          |                   |          |
| BR 9813019   | A    | 20000905 | BR 1998-13019     | 19980930 |
| TR 200000896   | T2   | 20000921 | TR 2000-200000896 | 19980930 |
| RU 2176999   | C2   | 20011220 | RU 2000-108440    | 19980930 |
| US 6528525   | B1   | 20030304 | US 2000-540765    | 20000330 |
| NO 2000001689  | A    | 20000531 | NO 2000-1689      | 20000331 |
| US 2004006141  | A1   | 20040108 | US 2002-254154    | 20020925 |

10/030,061

PRIORITY APPLN. INFO.:

JP 1997-269923 A 19971002

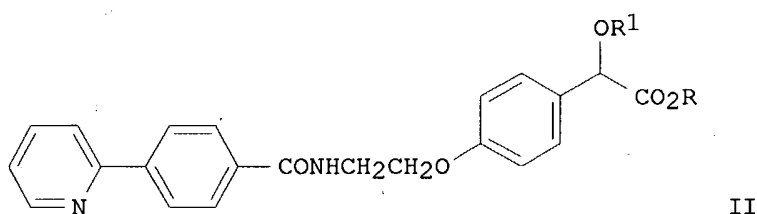
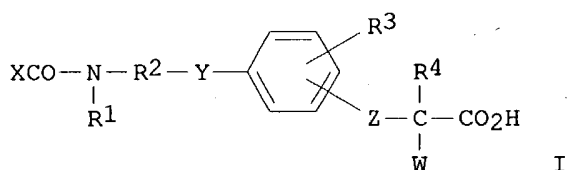
WO 1998-JP4396 W 19980930

US 2000-540765 A3 20000330

OTHER SOURCE(S):

MARPAT 130:296612

GI



AB Claimed and prepared are amidocarboxylic acid derivs. (phenylalkanoic acids containing arylcarboxamide derivs.) represented by general formula (I), pharmacol. acceptable salts thereof, or pharmacol. acceptable esters thereof, [wherein R1 = H, linear or branched C1-6 alkyl, C7-12 aralkyl; R2 = linear or branched C1-6 alkylene; R3 = H, linear or branched alkyl C1-6 alkyl, C1-4 alkoxy, or C1-4 alkylthio, halo, NO2, di(linear or branched C1-4 alkyl)amino, (un)substituted C6-10 aryl, C7-12 aralkyl optionally having 1-5 substituents on the aryl, OH, linear or branched C1-5 aliphatic acyl; R4 = H, linear or branched C1-6 alkyl; Z = linear or branched C1-6 alkylene; W = HO, linear or branched C1-6 alkyl, C1-4 alkoxy, or C1-4 alkylthio, (un)substituted C6-10 aryl, C6-10 aryloxy, C6-10 arylthio, C7-12 aralkyloxy, C7-12 aralkylthio, or C6-10 aryloxy-linear or branched C1-4 alkyl each optionally having 1-5 substituents on the aryl, 5- to 10-membered mono- or bicyclic heteroaryloxy containing 1-4 heteroatoms selected from O, N, and S, etc.; X = C6-10 aryl optionally having 1-3 substituents, 5- to 10-membered mono- or bicyclic heteroaryl containing 1-4 heteroatoms selected from O, N, and S; Y = single bond, O, S, (un)substituted NH]. Also claimed are blood sugar- and blood lipid-lowering agents, insulin resistance improver, antiinflammatory agents, immunomodulators, aldose reductase inhibitors, 5-lipoxygenase inhibitors, lipid peroxide formation inhibitors, PPAR activators, and anti-osteoporosis agents and therapeutic or prophylactic agents for diabetes, hyperlipemia, obesity, impaired glucose tolerance, insulin resistant non-impaired glucose tolerance, fatty liver, diabetes complications, gestational diabetes mellitus, polycystic ovary syndrome, osteoarthritis, rheumatoid arthritis, allergies, asthma, cancers, autoimmune diseases, pancreatitis, and cataract. Thus, N-deprotection of Et 2-ethoxy-3-[4-(2-phthalimidoethoxy)phenyl]propionate with hydrazine hydrate in MeOH at room temperature for 1.5 h followed by amidation with 4-pyridin-2-ylbenzoic acid using carbonyl diimidazole in CH2Cl2 at room temperature for 1.5 h followed by saponification with a mixture of 1 N aqueous NaOH and MeOH and acidification gave 3-[4-[2-(4-pyridin-2-ylbenzoylamino)ethoxy]phenyl]p

10/030,061

ropionic acid derivative (II.Na; R = H, R1 = Et) (III). III and (S)-II (R = H, R1 = 4-isopropoxyphenyl) in feed containing 0.01% at .apprx.10 mg drug/kg/day for 3 days lowered blood sugar level by 43 and 73%, resp. A capsule, a tablet, and a granule formulation containing III were prepared

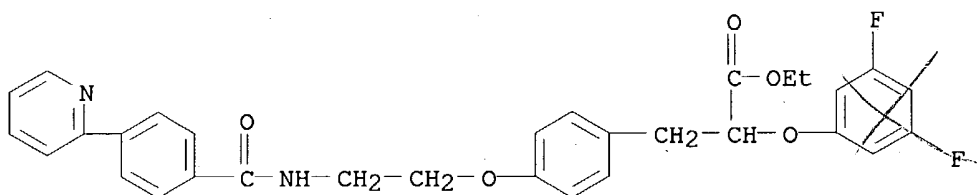
IT 223125-94-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amidocarboxylic acid derivs. as inhibitors of aldose reductase, 5-lipoxygenase, and lipid peroxide formation and as peroxisome proliferator-activated receptor (PPAR) activators for treatment and prevention of diseases)

RN 223125-94-8 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -(3,5-difluorophenoxy)-4-[2-[[4-(2-pyridinyl)benzoyl]amino]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:509180 CAPLUS

DOCUMENT NUMBER: 129:161414

TITLE: Preparation of benzamidine derivatives as anticoagulants

INVENTOR(S): Takayanagi, Masaru; Sagi, Kazuyuki; Nakagawa, Tadakiyo; Yamanashi, Masahiro; Kayahara, Takashi; Takehana, Shunji; et al.

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 453 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND   | DATE     | APPLICATION NO. | DATE     |
|------------|--|----------|-----------------|----------|
| WO 9831661 | A1   | 19980723 | WO 1998-JP176   | 19980119 |
| W:         | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |          |
| RW:        | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG   |          |                 |          |
| AU 9854975 | A1   | 19980807 | AU 1998-54975   | 19980119 |
| AU 731819  | B2   | 20010405 |                 |          |
| EP 976722  | A1   | 20000202 | EP 1998-900422  | 19980119 |
| R:         | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI   |          |                 |          |

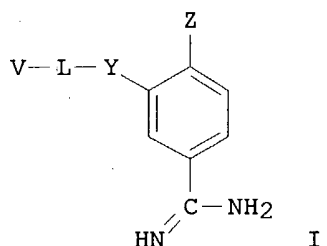
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PRIORITY APPLN. INFO.:

|                |   |          |
|----------------|---|----------|
| JP 1997-6783   | A | 19970117 |
| JP 1997-194602 | A | 19970718 |
| JP 1997-331887 | A | 19971202 |
| WO 1998-JP176  | W | 19980119 |

OTHER SOURCE(S):  
GI

MARPAT 129:161414



AB The title compds. I [L = CH<sub>2</sub>CH<sub>2</sub>, NWCOCH<sub>2</sub>, etc.; W = H, alkyl, etc.; Y = CH:CH, CONH, etc.; Z = H, alkyl, halo, etc.; when L is CH<sub>2</sub>CH<sub>2</sub>, V is benzoyl, cinnamoyl, etc., having substituents; further details on V are given] are prepared. These compds. show anticoagulant effects based on their excellent effects of inhibiting activated blood coagulation factor X, which makes them useful as anticoagulants. In in vitro tests for the inhibition of activated blood coagulation factor X, compds. of this invention showed pIC<sub>50</sub> values of 5.5 to 8.1.

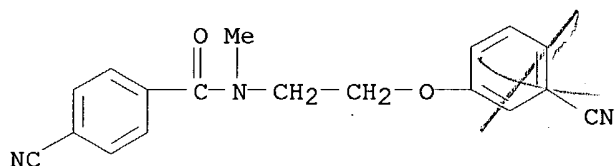
IT **210963-13-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzamidine derivs. as anticoagulants)

RN 210963-13-6 CAPLUS

CN Benzamide, 4-cyano-N-[2-(3-cyanophenoxy)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

14

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:113830 CAPLUS

DOCUMENT NUMBER: 128:163894

TITLE: Effect of soil fumigation on gladiolus plants grown in the field rotated with *Sesbania javanica* Miq.

AUTHOR(S): Lee, M. L.; Leu, L. S.

CORPORATE SOURCE: Pesticides Application Dep., Taiwan Agricultural Chemicals Toxic Substances Research Inst., Wufeng, Taiwan

SOURCE: Zhiwu Baohu Xuehui Huikan (1997), 39(4), 377-382  
CODEN: PLPBBH; ISSN: 0577-750X

10/030,061

PUBLISHER: Plant Protection Society of the Republic of China  
DOCUMENT TYPE: Journal  
LANGUAGE: Chinese

AB In 1994 and 1995, gladiolus cv Rich Rose corms were dipped in 25% Prochloraz EC, 2000X + 50% Prothiophos EC, 1000X mixture for 30 min, then planted in the field in rotation after *Sesbania javanica*. *S. javanica* was grown for 50 days, plowed and flooded for 1.5 mo, then exposed for 1 mo. Thereafter, the soil was fumigated with Ca cyanamide (50 g/m<sup>2</sup>), dazomet (40 g/m<sup>2</sup>), and MeBr (28.3 g/m<sup>2</sup>). In 1994, dazomet treatment improved height and fresh weight of gladiolus, but not flower number. In 1995, height

and

fresh weight of gladiolus did not differ between plants grown on fumigated and unfumigated soils; however, more flower buds were produced on soil treated with dazomet than with MeBr treatment. No gladiolus wilt occurred in 1994, and in 1995 wilt incidence did not differ among treatments. No bulb mites (*Rhizoglyphus robini*) were found in either year. Rotation with *S. javanica* and flooding and treating corms with fungicide and acaricide mixture could reduce the severity of *Fusarium* wilt in gladiolus to a negligible degree or none.

IT 203124-98-5

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(corm treatment with acaricide-fungicide mixture effect on severity of *Fusarium* wilt in gladiolus grown in rotation with *Sesbania*)

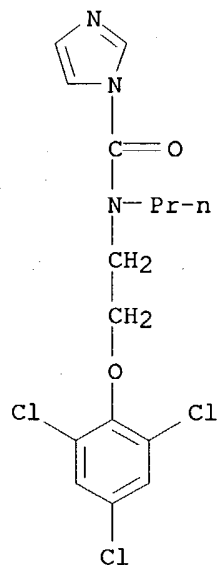
RN 203124-98-5 CAPLUS

CN Phosphorodithioic acid, O-(2,4-dichlorophenyl) O-ethyl S-propyl ester, mixt. with N-propyl-N-[2-(2,4,6-trichlorophenoxy)ethyl]-1H-imidazole-1-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 67747-09-5

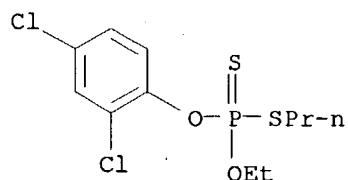
CMF C15 H16 Cl3 N3 O2



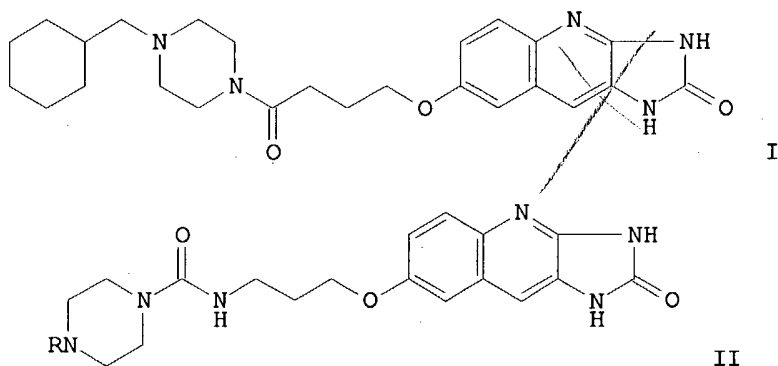
CM 2

10/030,061

CRN 34643-46-4  
CMF C11 H15 Cl2 O2 P S2



L22 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1993:671117 CAPLUS  
DOCUMENT NUMBER: 119:271117  
TITLE: Inhibitors of blood platelet cAMP phosphodiesterase.  
4. Structural variation of the side-chain terminus of  
water-soluble 1,3-dihydro-2H-imidazo[4,5-b]quinolin-2-  
one derivatives  
AUTHOR(S): Meanwell, Nicholas A.; Hewawasam, Piyasena; Thomas,  
Jeanine A.; Wright, J. J. Kim; Russell, John W.;  
Gamberdella, Marianne; Goldenberg, Harold J.; Seiler,  
Steven M.; Zavoico, George B.  
CORPORATE SOURCE: Div. Chem., Bristol-Myers Squibb Pharm. Res. Inst.,  
Wallingford, CT, 06492, USA  
SOURCE: Journal of Medicinal Chemistry (1993), 36(22), 3251-64  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB 1-(Cyclohexylmethyl)-4-[4-[(2,3-dihydro-2-oxo-1H-imidazo[4,5-b]quinolin-7-yl)oxy]-1-oxobutyl]-piperazine (I) was previously identified as a potent, water-soluble inhibitor of human blood platelet cAMP phosphodiesterase and of induced aggregation in vitro that demonstrated effective antithrombotic activity in animal models of thrombosis. Although I exhibited 25% oral bioavailability in rats, pharmacokinetic studies conducted in monkeys revealed that the parent compound was <5% bioavailable, the result of extensive 1st-pass biotransformation in the liver. In an effort to identify potent platelet aggregation inhibitors with enhanced metabolic stability, the side-chain amide moiety of I was replaced with chemical more

10/030,061

stable urea II (R = hydrogen, benzyl, alkyl, etc.), sulfonamide, sulfone, and tetrazole moieties. Many representatives from each of these structural types effectively combine potent inhibition of ADP-induced human platelet aggregation in vitro with excellent aqueous solubility, and several

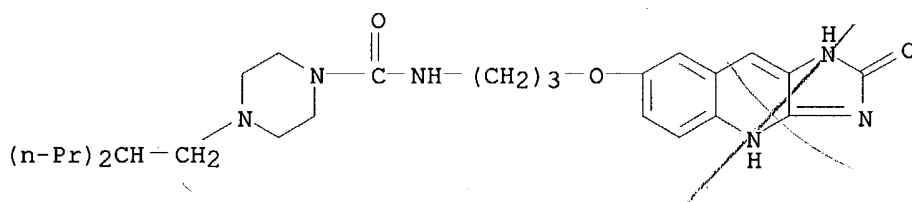
are superior to I. Within each series, the N-(cyclohexylmethyl)-, N-(2-ethylbutyl)-, N-benzyl-, and N-(4-fluorobenzyl)-substituted derivs. were evaluated for in vitro metabolic stability by incubating with the S-9 fraction of monkey liver for 2 h, and the extent of biotransformation was compared with that of the prototype I. Some sulfones were significantly more stable than I under these conditions. However, the oral bioavailability of some tetrazole or sulfone derivs. in the rat is only 3%, suggesting that they are less readily absorbed from the gastrointestinal tract than I.

IT 148819-55-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as platelet aggregation inhibitor)

RN 148819-55-0 CAPLUS

CN 1-Piperazinecarboxamide, N-[3-[(2,3-dihydro-2-oxo-1H-imidazo[4,5-b]quinolin-7-yl)oxy]propyl]-4-(2-propylpentyl)-, dihydrochloride (9CI)  
(CA INDEX NAME)



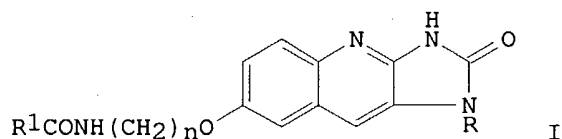
● 2 HCl

L22 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1993:603409 CAPLUS  
DOCUMENT NUMBER: 119:203409  
TITLE: Imidazo[4,5-b]quinolinylalkylureas  
INVENTOR(S): Meanwell, Nicholas A.  
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA  
SOURCE: U.S., 14 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE              | APPLICATION NO. | DATE     |
|------------------------|------|-------------------|-----------------|----------|
| US 5196428             | A    | 19930323          | US 1992-862899  | 19920403 |
| PRIORITY APPLN. INFO.: |      |                   | US 1992-862899  | 19920403 |
| OTHER SOURCE(S):       |      | MARPAT 119:203409 |                 |          |
| GI                     |      |                   |                 |          |



10/030,061



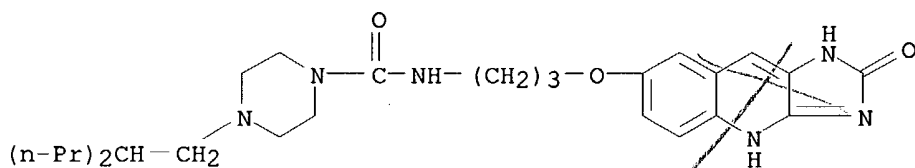
AB The title compds. I (R = H, C1-4 alkyl, R<sup>1</sup> = C1-4 alkoxy; NR<sup>2</sup>R<sup>3</sup>; R<sup>2</sup> = H, C1-4 alkyl, R<sup>3</sup> = H, C1-4 alkyl, C4-4 cycloalkyl; R<sup>2</sup>R<sup>3</sup> may form heterocycle; n = 1-5) were prepared as inhibitors of ADP-induced blood platelet aggregation in human platelet-rich plasma. Thus, 4-[(2,3-dihydro-2-oxo-1H-imidazo[4,5-b]quinolin-7-yl)oxy]butyric acid was treated with diphenylphosphorylazide in DMF followed by treatment with 1-(2-ethylbutyl)piperazine to give 44% I (R = H, R<sup>1</sup> = 4-(2-ethylbutyl)piperazino, n = 3) (II). The IC<sub>50</sub> of II for inhibitions of ADP-induced platelet aggregation was 0.022 kg/mol.

IT 148819-55-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and blood platelet aggregation inhibitor activity of)

RN 148819-55-0 CAPLUS

CN 1-Piperazinecarboxamide, N-[3-[(2,3-dihydro-2-oxo-1H-imidazo[4,5-b]quinolin-7-yl)oxy]propyl]-4-(2-propylpentyl)-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

L22 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:656159 CAPLUS

DOCUMENT NUMBER: 115:256159

TITLE: Preparation of pyrazolecarboxamide derivatives as insecticides and miticides

INVENTOR(S): Okada, Itaru; Tanaka, Toshihiko; Ishii, Kazuo; Okui, Shuko; Takahashi, Yoji

PATENT ASSIGNEE(S): Mitsubishi Kasei Corp., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

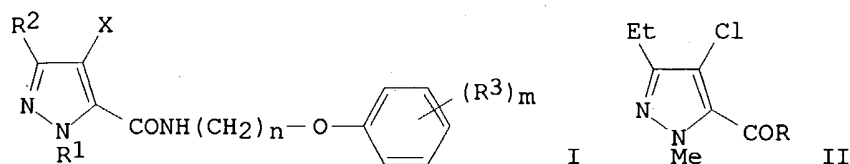
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE       | APPLICATION NO. | DATE     |
|------------------------|--------|------------|-----------------|----------|
| JP 03153668            | A2     | 19910701   | JP 1989-291479  | 19891109 |
| PRIORITY APPLN. INFO.: |        |            | JP 1989-291479  | 19891109 |
| OTHER SOURCE(S):       | MARPAT | 115:256159 |                 |          |

GI

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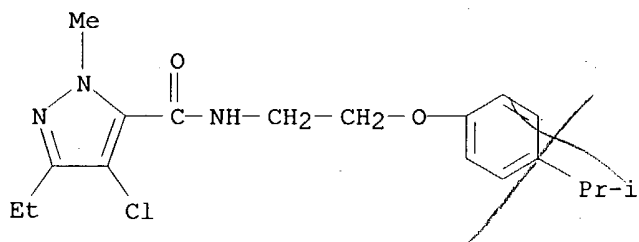
AB Pyrazolecarboxamides [I; R1 = C1-4 alkyl; R2 = H, C1-4 alkyl, alkoxy; X = H, halo, C1-4 alkyl, alkoxy, C1-3 haloalkoxy, R2X may form (C1-3 alkyl-substituted) 5- or 6-membered ring; R3 = H, halo, C1-7 alkyl, C3-5 alkenyl, alkynyl, etc.; m = 1-3; n 1-4] are prepared Refluxing 1.25 g acid II (R = OH) with SOCl<sub>2</sub> gave acid chloride II (R = Cl), which (1.37 g) was treated with 1.37 g 4-[me(CH<sub>2</sub>)<sub>4</sub>]C<sub>6</sub>H<sub>4</sub>OCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> and Et<sub>3</sub>N in EtOAc at 0-10° to give 2.25 g amide I [R1 = Me, R2 = Et, (R3)m = 4-Me(CH<sub>2</sub>)<sub>4</sub>, X = Cl, n = 2], which killed 100% red spidermite larvae and eggs at 500 ppm. Also prepared and tested were of addnl. I.

IT 137216-52-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as insecticide and miticide)

RN 137216-52-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 4-chloro-3-ethyl-1-methyl-N-[2-[4-(1-methylethyl)phenoxy]ethyl]- (9CI) (CA INDEX NAME)



L22 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:523756 CAPLUS

DOCUMENT NUMBER: 113:123756

TITLE: Photographic material containing benzoxazine or benzothiazine derivative for increased speed  
INVENTOR(S): Monbaliu, Marcel Jacob; Joly, Ludovicus Pieter; Steeman, Erwin Charles; Van de Sande, Christian Charles

PATENT ASSIGNEE(S): Agfa-Gevaert N. V., Belg.

SOURCE: Eur. Pat. Appl., 20 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

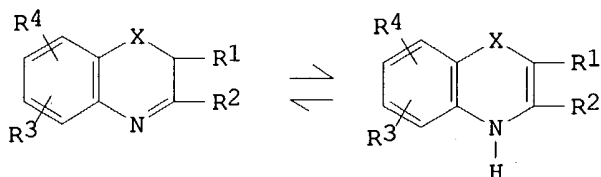
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| EP 363527              | A1   | 19900418 | EP 1988-202261  | 19881011 |
| R: BE                  |      |          |                 |          |
| PRIORITY APPLN. INFO.: |      |          | EP 1988-202261  | 19881011 |

10/030,061

OTHER SOURCE(S):  
GI

MARPAT 113:123756



AB A benzoxazine or benzothiazine derivative of the structure I (X = O or S; R1 = H, alkyl, aryl, acyl, heterocyclyl, heterocyclylcarbonyl, aalkylcarbamoyl, arylcarbamoyl, heterocyclylcarbamoyl, alkoxy carbonyl, aryloxy carbonyl, or carboxy; R2 = H, alkyl, alkyloxy, aryl, heterocyclyl, or OH, R1 and R2 together may represent a group of atoms completing a nucleus; R3, R4 = H, halogen, alkyl, alkoxy, aralkyloxy, aryl, alkenyl, acylamido, alkylamino, OH, alkoxy carbonyl, aryloxy carbonyl, alkyloxy carbonyloxy, aryloxy carbonyloxy, alkylthio, arylthio, or benzenesulfonyl) is added to the Ag halide emulsion layer of a photog. material as a speed-increasing agent. The incorporation of the benzoxazine or benzothiazine derivative in the photog. material also improves its developability.

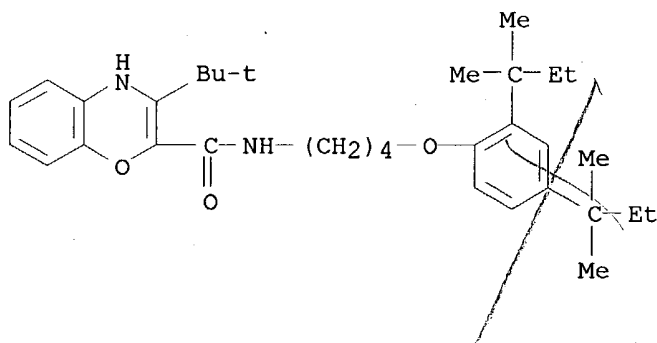
IT 129357-65-9

RL: USES (Uses)

(photog. emulsions containing, for increased speed)

RN 129357-65-9 CAPLUS

CN 4H-1,4-Benzoxazine-2-carboxamide, N-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



L22 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:644158 CAPLUS

DOCUMENT NUMBER: 111:244158

TITLE: Silver halide color photographic material

INVENTOR(S): Ishige, Osamu; Kida, Shuji; Masukawa, Toyooki; Hirabayashi, Shigeto

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Eur. Pat. Appl., 92 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

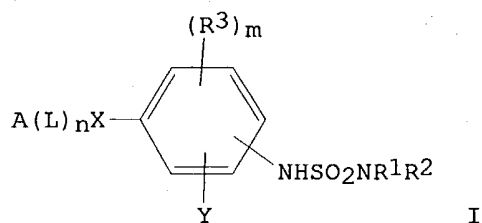
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

10/030,061

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| EP 322904              | A2   | 19890705 | EP 1988-121812  | 19881228 |
| EP 322904              | A3   | 19900509 |                 |          |
| R: DE, GB, IT, NL      |      |          |                 |          |
| US 4977073             | A    | 19901211 | US 1988-290176  | 19881223 |
| JP 02191946            | A2   | 19900727 | JP 1988-330421  | 19881227 |
| PRIORITY APPLN. INFO.: |      |          | JP 1987-333358  | 19871228 |
| GI                     |      |          |                 |          |



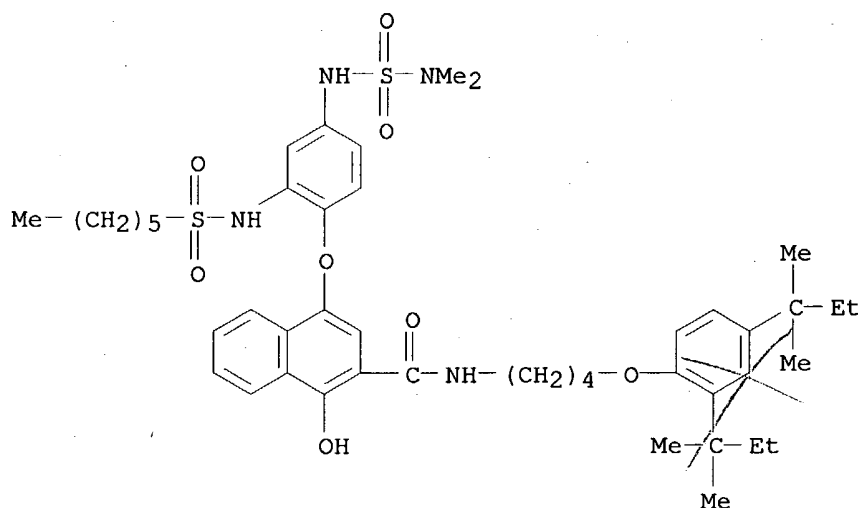
AB A Ag halide color photog. material capable of providing images having improved color reproduction and graininess comprises  $\geq 1$  coupler having the general formula I (A = a coupler residue that is capable of releasing the remaining group of the coupler upon reaction with an oxidation product of a developing agent; L = a timing group which is capable of releasing the rest of the group after the remaining group being released from A; n = 0, 1; X = O, S; Y = NHSO<sub>2</sub>R<sub>4</sub>, NHCOR<sub>4</sub>, NHSO<sub>2</sub>NR<sub>4</sub>R<sub>5</sub>; R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>5</sub> = H, an aliphatic group, an aromatic group, a heterocyclic group; R<sub>3</sub> = a substituent; m = 0, 1, 2) and capable of forming a yellow, magenta, or cyan dye.

IT 123766-92-7

RL: TEM (Technical or engineered material use); USES (Uses)  
(photog. coupler, for producing images of improved color reproduction and graininess)

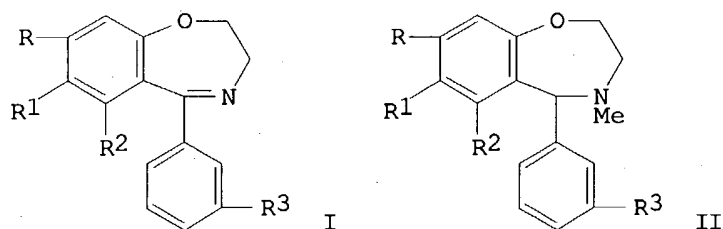
RN 123766-92-7 CAPLUS

CN 2-Naphthalenecarboxamide, N-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]-4-[4-[(dimethylamino)sulfonyl]amino]-2-[(hexylsulfonyl)amino]phenoxy]-1-hydroxy- (9CI) (CA INDEX NAME)



10/030,061

L22 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1984:174792 CAPLUS  
DOCUMENT NUMBER: 100:174792  
TITLE: Synthesis of 5-aryl-1,4-benzoxazepine and  
6-phenyl-2H-1,5-benzoxazocine derivatives  
AUTHOR(S): Bremner, John B.; Browne, Elaine J.; Gunawardana,  
Indrani W. K.  
CORPORATE SOURCE: Dep. Chem., Univ. Tasmania, Hobart, 7001, Australia  
SOURCE: Australian Journal of Chemistry (1984), 37(1), 129-41  
CODEN: AJCHAS; ISSN: 0004-9425  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 100:174792  
GI



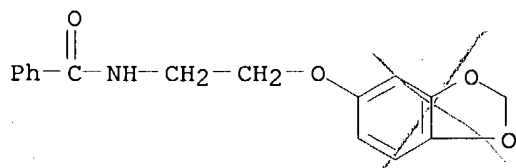
AB Four 5-aryl-2,3-dihydro-1,4-benzoxazepines I (R = OMe, R1 = R2 = H, R3 = H, Cl; RR1 = OCH2O, R2 = R3 = H; R = R2 = OMe, R1 = R3 = H) were prepared by a Bischler-Napieralski-type reaction of N-(2-aryloxyethyl)benzamides with POCl3 in MeCN or PrCN. I (R = R2 = R3 = H, R1 = H, Cl) were prepared by C-N ring-closure reactions. Cyclization of a dilute solution of 3-MeOC6H4O(CH2)3NHBz gave 40% yield of 9-methoxy-6-phenyl-3,4-dihydro-2H-1,5-benzoxazocine. Cyclic imines were converted into their methiodide salts. These were reduced with NaBH4 to benzoxazepines II, and 9-methoxy-5-methyl-6-phenyl-3,4,5,6-tetrahydro-2H-1,5-benzoxazocine. These products were prepared for use as a starting material in ring-expansions through the Meisenheimer rearrangement.

IT 89718-73-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and ring closure of)

RN 89718-73-0 CAPLUS

CN Benzamide, N-[2-(1,3-benzodioxol-5-yloxy)ethyl]- (9CI) (CA INDEX NAME)

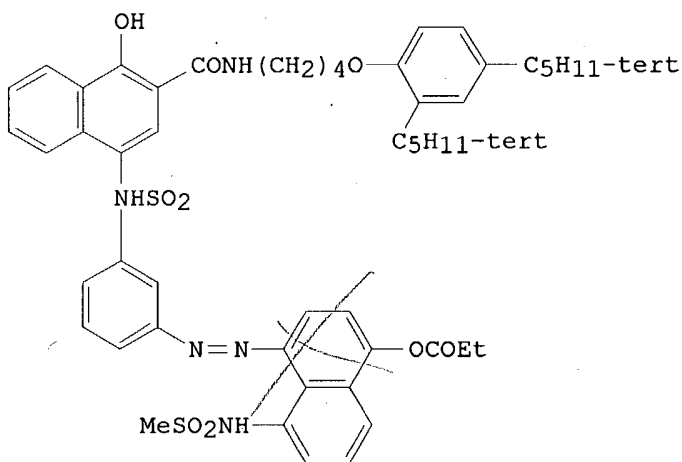


L22 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1981:612988 CAPLUS  
DOCUMENT NUMBER: 95:212988  
TITLE: Photothermographic product

10/030,061

INVENTOR(S): Enriquez, Philip Maury; Mowrey, Rowland George  
PATENT ASSIGNEE(S): Eastman Kodak Co., USA  
SOURCE: Fr. Demande, 47 pp.  
CODEN: FRXXBL  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| FR 2465249             | A1   | 19810320 | FR 1980-18993   | 19800903 |
| FR 2465249             | B1   | 19820122 |                 |          |
| GB 2058383             | A    | 19810408 | GB 1980-28990   | 19800908 |
| JP 56050328            | A2   | 19810507 | JP 1980-124468  | 19800908 |
| JP 63027700            | B4   | 19880603 |                 |          |
| PRIORITY APPLN. INFO.: |      |          | US 1979-73350   | 19790907 |
| GI                     |      |          |                 |          |



I

AB A combination of an azonaphthol or azophenol derivative color former with a thermally sensitive base-releasable compound provides improved photothermog. bleach-type image forming systems. Thus, a composition containing I, a gelatin binder, and [CH<sub>2</sub>CH<sub>2</sub>CON-N+Me<sub>2</sub>CH<sub>2</sub>CHOHMe]<sub>2</sub> was coated on 3 supports to give 2.15, 4.3, and 2.15 g/m<sup>2</sup>, resp., dried, and heated on a plate for 30 s at 150° to give a magenta color.

IT 75169-59-4

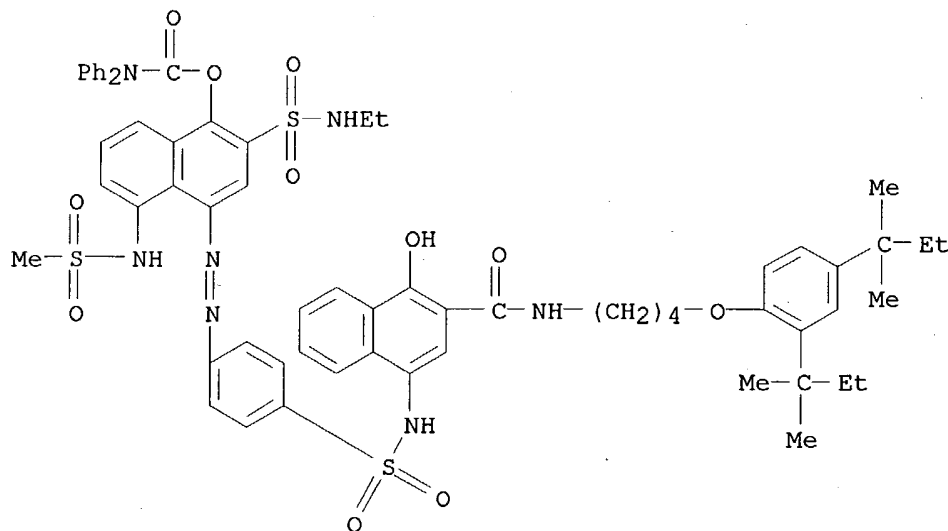
RL: USES (Uses)

(color former, for photothermog. system with thermally sensitive base-releasable compound)

RN 75169-59-4 CAPLUS

CN Carbamic acid, diphenyl-, 4-[[4-[[[3-[[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]amino]carbonyl]-4-hydroxy-1-naphthalenyl]amino]sulfonyl]phenyl]azo]-2-[(ethylamino)sulfonyl]-5-[(methylsulfonyl)amino]-1-naphthalenyl ester (9CI) (CA INDEX NAME)

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L22 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1980:628565 CAPLUS  
 DOCUMENT NUMBER: 93:228565  
 TITLE: Photographic recording material containing shifted dye releasing compound  
 INVENTOR(S): Ford, John Albert; Lestina, Gregory James  
 PATENT ASSIGNEE(S): Eastman Kodak Co., USA  
 SOURCE: Eur. Pat. Appl., 45 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------------|------|----------|-----------------|----------|
| EP 10001          | A2   | 19800416 | EP 1979-302168  | 19791010 |
| EP 10001          | A3   | 19810107 |                 |          |
| EP 10001          | B1   | 19830817 |                 |          |
| R: BE, DE, FR, GB |      |          |                 |          |
| US 4234672        | A    | 19801118 | US 1978-949463  | 19781010 |
| CA 1136126        | A1   | 19821123 | CA 1979-331975  | 19790717 |
| JP 55053329       | A2   | 19800418 | JP 1979-129809  | 19791008 |
| JP 60014341       | B4   | 19850412 |                 |          |
| US 999003         | H    | 19801007 | US 1980-143659  | 19800425 |
|                   |      |          | US 1978-949463  | 19781010 |

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.  
 AB A color diffusion-transfer photog. film contains a shifted azo dye-releasing compound having the general formula I [R1 = C4-20 tert-alkyl, NR42 where R4 = C1-10 alkyl, C6-20 aryl; R2 = C1-20 primary or secondary alkyl; Z1 = atoms required to complete an aromatic carbocyclic or heterocyclic nucleus having >1 ring of 5-7 atoms; Z2 = atoms required to complete a benzene or naphthalene nucleus; n = 0, 1, 2; R3= a monitoring group which, in the presence of an alkaline processing composition and as a function of Ag halide development, is responsible for a change in mobility of the dye]. The spectral absorption of the dye is shifted by a group which is resistant to cleavage during storage but which is rapidly cleavable under conditions of processing. Thus, a color transfer element

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was prepared by coating a poly(ethylene terephthalate) support with a layer of the compound II ( $5 + 10^{-5}$  mol/ft<sup>2</sup>) dissolved in an organic solvent and dispersed in gelatin. The rate of deblocking of II was determined by subjecting the element to a 1.0N NaOH solution and by monitoring the unblocked dye spectrophotometrically to be <5 s (the time required to produce 1/2 the final d.). The raw stock stability  $\Delta D$  (the change in d. at  $\lambda_{max}$  between the element stored at 25.5° and 80% relative humidity and a control stored in a freezer) was determined to be 0.01.

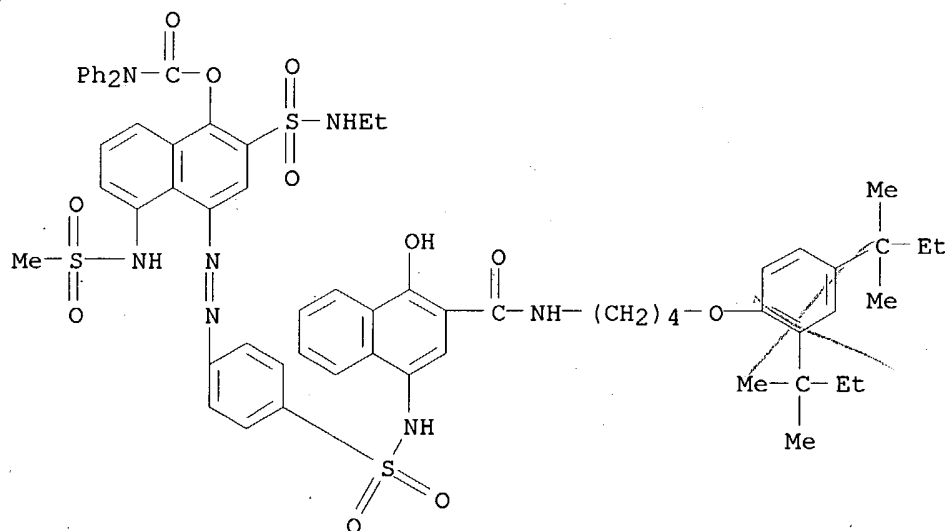
IT 75169-59-4

RL: USES (Uses)

(shifted azo dye-releasing compound, for color diffusion-transfer photog. films)

RN 75169-59-4 CAPLUS

CN Carbamic acid, diphenyl-, 4-[[4-[[[3-[[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]amino]carbonyl]-4-hydroxy-1-naphthalenyl]amino]sulfonyl]phenyl]azo]-2-[(ethylamino)sulfonyl]-5-[(methylsulfonyl)amino]-1-naphthalenyl ester (9CI) (CA INDEX NAME)



L22 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1980:577142 CAPLUS

DOCUMENT NUMBER: 93:177142

TITLE: Heat developable material and process

AUTHOR(S): Anon.

CORPORATE SOURCE: UK

SOURCE: Research Disclosure (1980), 194, 264-8 (No. 19419)

CODEN: RSDSBB; ISSN: 0374-4353

DOCUMENT TYPE: Journal; Patent

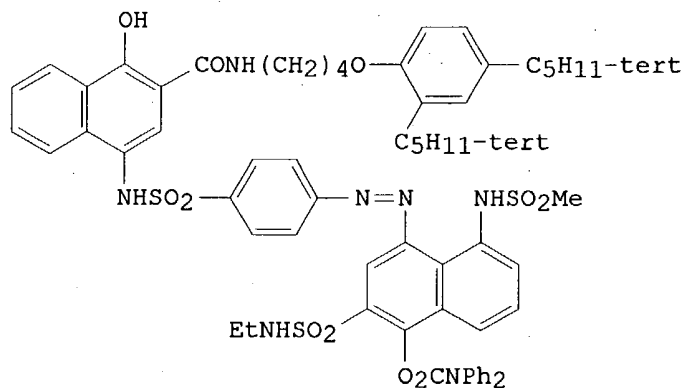
LANGUAGE: English

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| RD 194019              |      | 19800610 |                 |          |
| PRIORITY APPLN. INFO.: |      |          | RD 1980-194019  | 19800610 |

GI





AB A photothermog. material capable of producing a dye image consists of, in binder, a photosensitive Ag salt, a Ag halide developing agent, an activating concentration of a heat-sensitive base-release agent, and an image dye

precursor selected from azonaphthols and azophenols that contain a blocked auxochromic hydroxy group that can be deblocked to form an overall distribution by base from the base-release agent upon heating of the material at  $\geq 100^\circ$ . The resulting image dye is capable of being bleached imagewise in a Ag dye-bleach process. Thermog. materials containing the heat-sensitive base-release agent and the image dye precursor are also described. Thus, a photothermog. element was prepared by coating a transparent poly (ethylene terephthalate) support with a composition containing a

gelatin-Ag(Br,I) emulsion 0.65, gelatin 8.6, 1-phenyl-3-pyrazolidone 0.99, an amine salt base-release agent 6.5, and I 0.43g/m<sup>2</sup>. This element was then exposed and subsequently developed at  $150^\circ$  for 30 s to produce a neg. Ag image and a uniform distribution of magenta dye in the element. The developed element was then laminated to a Ag dye-bleach activation sheet and heated 15 min at  $110^\circ$ . After heating the elements were left in a laminate mode and a well-defined pos. magenta dye image could be viewed through the transparent support.

IT **75169-59-4**

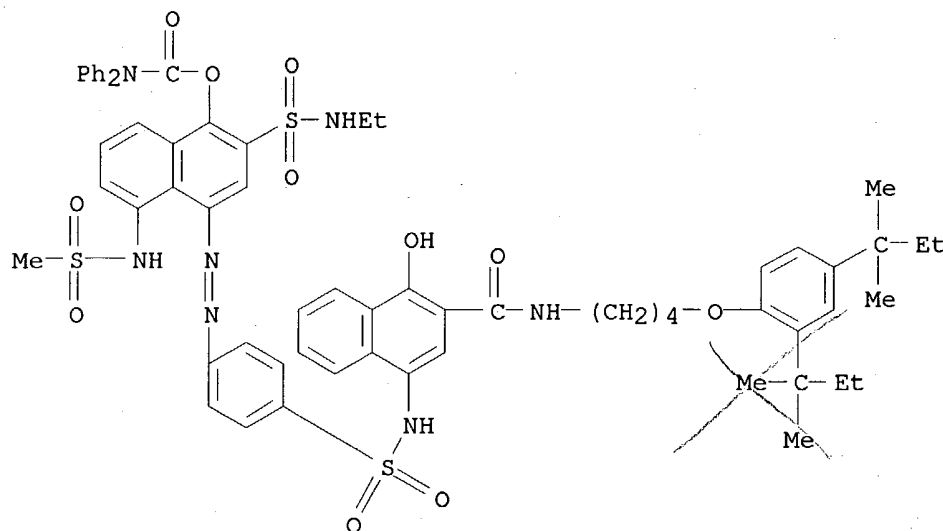
RL: USES (Uses)

(photothermog. copying materials containing, for dye image production)

RN 75169-59-4 CAPLUS

CN Carbamic acid, diphenyl-, 4-[[4-[[[3-[[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]amino]carbonyl]-4-hydroxy-1-naphthalenyl]amino]sulfonyl]phenyl]azo]-2-[(ethylamino)sulfonyl]-5-[(methylsulfonyl)amino]-1-naphthalenyl ester (9CI) (CA INDEX NAME)

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L22 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1979:430495 CAPLUS  
DOCUMENT NUMBER: 91:30495  
TITLE: Color diffusion-transfer photographic dye-releasing couplers  
INVENTOR(S): Kobayashi, Ryuichiro; Kanbe, Masaru; Watanabe, Kazumasa; Nogami, Akira; Kunieda, Tadashi  
PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.                   | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------------|------|----------|-----------------|----------|
| JP 53003820                  | A2   | 19780113 | JP 1976-78428   | 19760630 |
| PRIORITY APPLN. INFO.:<br>GI |      |          | JP 1976-78428   | 19760630 |

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Diffusion-transfer color photog. materials contain dye-releasing redox couplers of the general formulas I or II [Z = divalent moiety; Z1 = methylene, imino, phenylene, O, S; Z2 = aromatic hydrocarbon moiety, aromatic heterocyclic moiety, nonarom. heterocyclic moiety containing an active methylene or methyne group, Z3N:NZ4 (Z3, Z4 = aromatic hydrocarbon moiety, arom heterocyclic moiety, nonarom. heterocyclic moiety containing active methylene or methyne group, aliphatic hydrocarbon moiety with active methylene or methyne group); R = H, halogen, monovalent moiety; R1, R2 = H, hydrocarbon moiety; R3 = halo, other monovalent moiety; R4CO = a group which is released when OH- concentration is 10-5-2 mol/L; R5 = dye moiety which is released by oxidation in alkaline medium; R6 = monovalent radical; M = (R5-H);

10/030,061

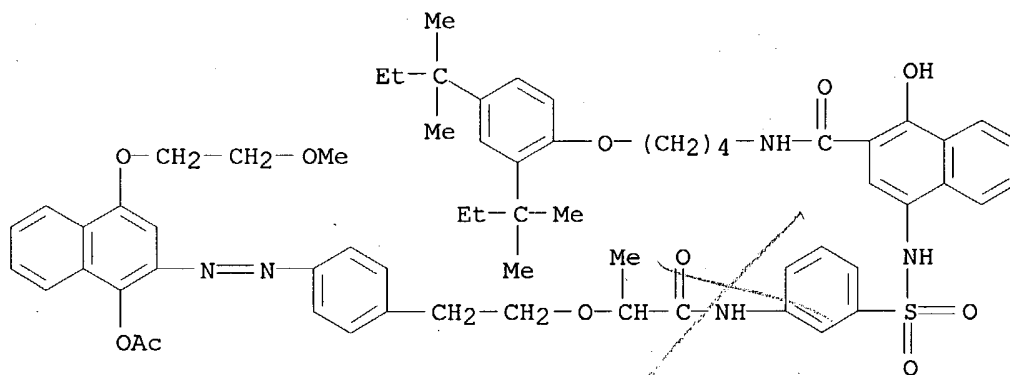
m, n = pos. integer, 0; m + n ≥ 1; p, q = 0, 1; r, s = pos. integer; t = 0-4; u, v = 0, 1, 2; u + v = 2; w = 0, 1; when w = 0, R = H]. Thus, a film support was coated with (1) a composition containing gelatin and styrene-N-vinylbenzyl-N,N,N-trihexylammonium chloride copolymer, (2) a gelatin-TiO<sub>2</sub> mixture, (3) a carbon black-gelatin mixture, (4) a green-sensitive Ag(Br,I) emulsion containing the compound III (100 mg/100 cm<sup>2</sup>), and (5) gelatin to give a diffusion-transfer photog. unit which exhibited a considerably improved shelf life.

IT 70581-14-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 70581-14-5 CAPLUS

CN 2-Naphthalenecarboxamide, 4-[[[3-[[2-[2-[4-[[1-(acetyloxy)-4-(2-methoxyethoxy)-2-naphthalenyl]azo]phenyl]ethoxy]-1-oxopropyl]amino]phenyl]sulfonyl]amino]-N-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]-1-hydroxy- (9CI) (CA INDEX NAME)



L22 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1979:95360 CAPLUS

DOCUMENT NUMBER: 90:95360

TITLE: A silver halide color photographic material

AUTHOR(S): Anon.

CORPORATE SOURCE: UK

SOURCE: Research Disclosure (1978), 176, 31 (No. 17613)

CODEN: RSDSBB; ISSN: 0374-4353

DOCUMENT TYPE: Journal; Patent

LANGUAGE: English

PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|------|
| RD 176013  |      | 19781210 |                 |      |

PRIORITY APPLN. INFO.: RD 1978-176013 19781210

AB Color photog. materials containing a colored coupler for masking purposes are described. The materials give high d. images with low fog and show good stability in bleaching solns. of high pH. Typical colored couplers used are 1-hydroxy-4-[3-[4-(1-hydroxy-3,6-disulfo-8-acetamido-2-naphthylazo)phenoxyacetamido]anilinocarboxyloxy]-N-[8-(2,4-di-tert-amylphenoxy)butyl]-2-naphthamide di-Na salt (I) and 1-hydroxy-4-[4-[4-(1-hydroxy-3,6-disulfo-8-acetamido-2-naphthylazo)phenoxyacetamido]benzylamino]carboxyloxy]-N-[8-(2,4-di-tert-amylphenoxy)butyl]-2-naphthamide di-Na salt. Thus, a solution containing 1-hydroxy-N-[8-(2,4-di-tert-amylphenoxy)butyl]-2-naphthamide 4, I 4 g, di-Bu phthalate 4, and EtOAc 8

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mL was mixed with 10% aqueous gelatin 2 mL and dispersed in a colloid mill. The dispersion was then added to a gelatin-Ag(Br, I) emulsion, coated on a support, dried, imagewise exposed, and developed to show a fog of 0.16, a maximum absorption wavelength of the mask of 555-70 nm, and a max d. of 1.20.

IT 69319-65-9

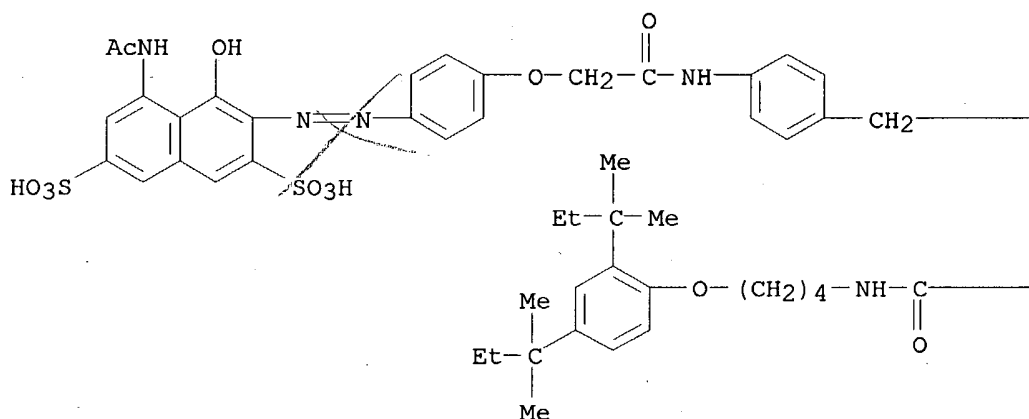
RL: USES (Uses)

(photog. colored masking coupler, photog. films containing, for improved image quality)

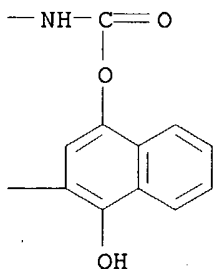
RN 69319-65-9 CAPLUS

CN 2,7-Naphthalenedisulfonic acid, 5-(acetylamino)-3-[[4-[2-[[4-[[[[[3-[[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]amino]carbonyl]-4-hydroxy-1-naphthalenyl]oxy]carbonyl]amino]methyl]phenyl]amino]-2-oxoethoxy]phenyl]azo]-4-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L22 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1978:538369 CAPLUS

DOCUMENT NUMBER: 89:138369

TITLE: Color photographic dye image formation

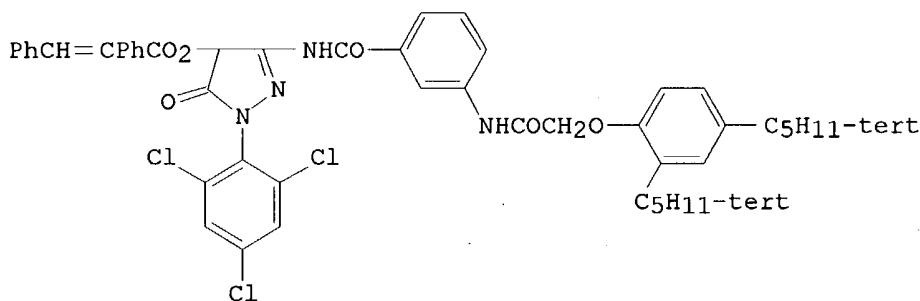
INVENTOR(S): Kojima, Tamotsu; Sato, Shui; Kikuchi, Shoji;  
Fujimatsu, Wataru; Ezawa, Osamu; Imamura, Hiroyuki

PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan

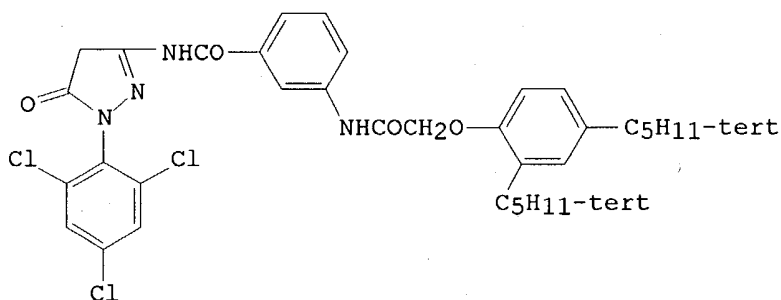
10/030,061

SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.                   | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------------|------|----------|-----------------|----------|
| JP 53039126                  | A2   | 19780410 | JP 1976-114143  | 19760921 |
| JP 58047704                  | B4   | 19831024 |                 |          |
| PRIORITY APPLN. INFO.:<br>GI |      |          | JP 1976-114143  | 19760921 |



I



II

AB Ag halide photog. materials are imagewise exposed and developed with an aromatic primary amine-type developer in the presence of a compound of the general formula  $\text{RO}_2\text{CCR}_1:\text{CR}_2\text{R}_3$  ( $\text{R}$  = cyan, magenta, or yellow coupler residue;  $\text{R}_1, \text{R}_2, \text{R}_3$  = H, halogen, a monovalent organic moiety;  $\geq 1$  of  $\text{R}_1, \text{R}_2, \text{R}_3$  is aryl or a heterocyclic moiety; and  $\text{R}_3$  is not Ph or substituted Ph when both  $\text{R}_1$  and  $\text{R}_2$  are H) to form dye images. The new 2-equivalent couplers exhibit an excellent coupling reaction rate, good stability, and do not cause fog or color stains. The couplers also give dye images with a high optical d. Thus, a magenta coupler I 10 g was dissolved in an HOAc-di-Bu phthalate mixture, the solution dispersed in a gelatin solution, the dispersion added to a high-sensitivity Ag(Br,I) emulsion 500 g, and the emulsion was coated on a cellulose triacetate film support to give a photog. film. The film was sensitometrically exposed and developed to give a relative sensitivity,  $\gamma$ ,  $\text{D}_{\text{max}}$ , and fog of 201, 2.30,  $\geq 4.0$ , and 0.13, resp., vs. 100, 1.27, 2.2, and 0.08, resp., for a control containing the magenta coupler II. The magenta images also exhibited good resistance to light and moisture.

IT 67646-48-4

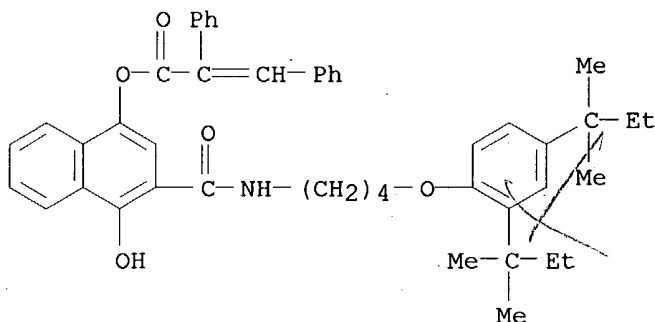
10/030,061

RL: USES (Uses)

(photog. two-equivalent coupler)

RN 67646-48-4 CAPLUS

CN Benzeneacetic acid,  $\alpha$ -(phenylmethylene)-, 3-[[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]amino]carbonyl]-4-hydroxy-1-naphthalenyl ester (9CI) (CA INDEX NAME)



L22 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1978:520788 CAPLUS

DOCUMENT NUMBER: 89:120788

TITLE: Photographic element for color diffusion transfer

INVENTOR(S): Kobayashi, Ryuichiro; Kanbe, Masaru; Mizukura, Noboru;  
Suginaka, Shunji; Kunieda, Naoshi

PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan

SOURCE: Ger. Offen., 114 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

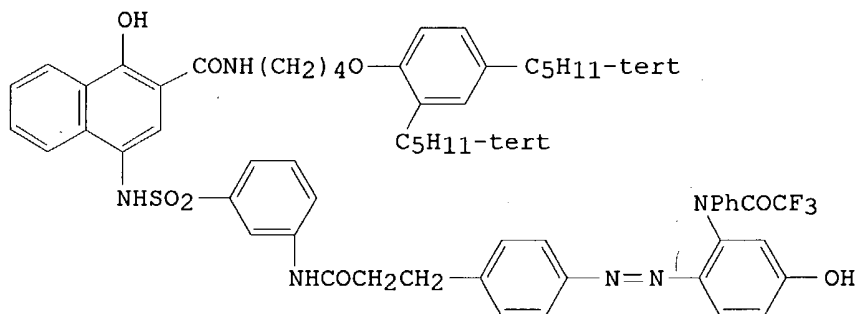
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------|------|----------|-----------------|----------|
| -----       | ---- | -----    | -----           | -----    |
| DE 2729823  | A1   | 19780105 | DE 1977-2729823 | 19770701 |
| JP 53004544 | A2   | 19780117 | JP 1976-78777   | 19760702 |
| JP 59044618 | B4   | 19841031 |                 |          |
| AU 504663   | B1   | 19791025 | AU 1977-26550   | 19770629 |
| GB 1589831  | A    | 19810520 | GB 1977-27117   | 19770629 |
| CA 1115579  | A1   | 19820105 | CA 1977-281813  | 19770630 |
| FR 2356973  | A1   | 19780127 | FR 1977-20412   | 19770701 |

PRIORITY APPLN. INFO.:

JP 1976-78777

19760702

GI



I

10/030,061

AB One hundred fifteen dye-releasing redox (DRR) compds. are described for use in color diffusion-transfer materials of the shift-type. The DRR compds. containing an azo dye group linked through aromatic groups and an acyl-substituted amino group. Thus, a transparent poly(ethylene terephthalate) support was coated with a blue-sensitive gelatin-Ag(I,Br) emulsion layer, a layer containing gelatin and I (8.0 mg/100 cm<sup>2</sup>), and a gelatin protective layer. This material was then exposed through a 30 step Ag wedge (d. difference of 0.15), contacted with an image-receptor sheet, and processed with an alkaline solution for 2 min to give a transfer

image

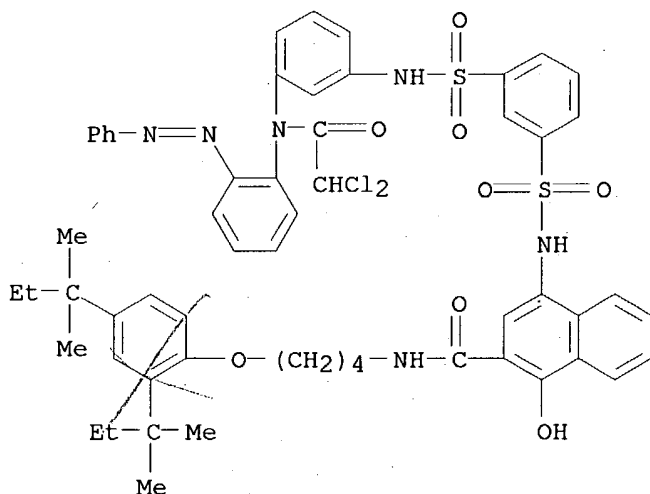
with a Dmax of 1.90 and a Dmin of 0.22.

IT 66861-37-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 66861-37-8 CAPLUS

CN 2-Naphthalenecarboxamide, N-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]-4-[[[3-[[[3-[(dichloroacetyl)[2-(phenylazo)phenyl]amino]phenyl]amino]sulfonyl]phenyl]sulfonyl]amino]-1-hydroxy- (9CI) (CA INDEX NAME)



L22 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:164527 CAPLUS

DOCUMENT NUMBER: 84:164527

TITLE: Sulfonamide compounds

INVENTOR(S): Eldredge, Carl H.; Haase, Jan R.; Lanholm, Richard A.

PATENT ASSIGNEE(S): Eastman Kodak Co., USA

SOURCE: Ger. Offen., 45 pp. Division of Ger. Offen. 2,406,627.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

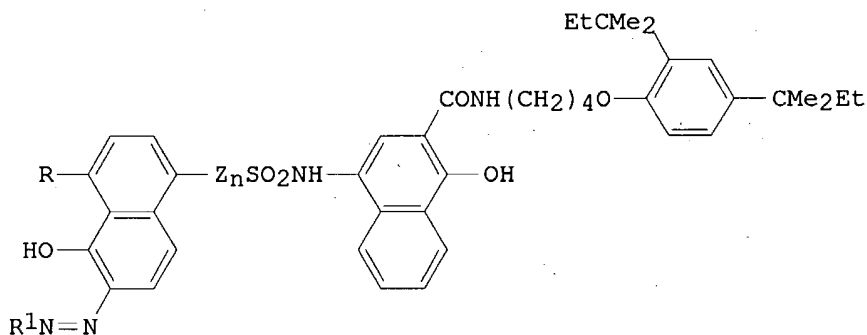
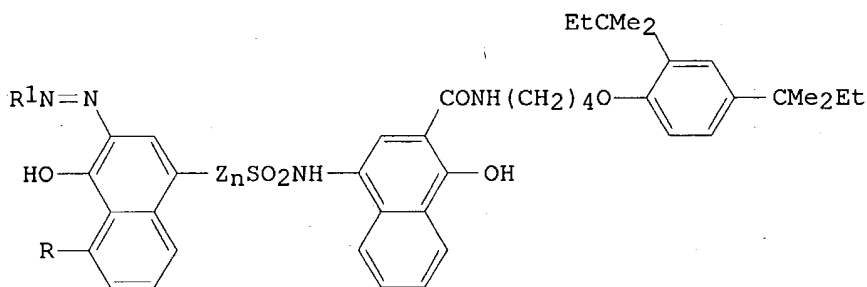
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| DE 2462061 | A1   | 19751218 | DE 1974-2462061 | 19740212 |
| FR 2217725 | A1   | 19740906 | FR 1973-6644    | 19730226 |
| BE 796040  | A1   | 19730827 | BE 1973-128171  | 19730227 |

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|                        |    |          |                |          |
|------------------------|----|----------|----------------|----------|
| CH 588095              | A  | 19770531 | CH 1974-1853   | 19740211 |
| NL 7401910             | A  | 19740814 | NL 1974-1910   | 19740212 |
| JP 49114424            | A2 | 19741031 | JP 1974-17062  | 19740212 |
| AU 7465489             | A1 | 19750814 | AU 1974-65489  | 19740212 |
| GB 1465183             | A  | 19770223 | GB 1974-6248   | 19740212 |
| PRIORITY APPLN. INFO.: |    |          | US 1973-331728 | 19730212 |
| GI                     |    |          |                |          |



AB Naphthalenesulfonamides I and II [R = HO, H, AcNH, BzNH, etc.; R1 = 2-MeOC6H4, 2,5-(MeO)(H2NO2S)C6H3, substituted naphthyl, etc.; Z = 3-(O2SHN)C6H4, H2O2S(CH2)3, 3-OCHMeCONHC6H3, etc.; n = 0, 1] were prepared. Thus, 1,4,2-(HO)(H2N)C10H5CONH(CH2)4OC6H3(CMe2Et)-1,4 reacted with 1,5,7,2-(HO)(ClO2S)(AcNH)C10H4N:NC6H4OMe-2 in pyridine to give II (R = AcNH, R1 = 2-MeOC6H4, n = 0). A total of 18 I and II were prepared, useful as photographic developers.

IT **54179-14-5P**

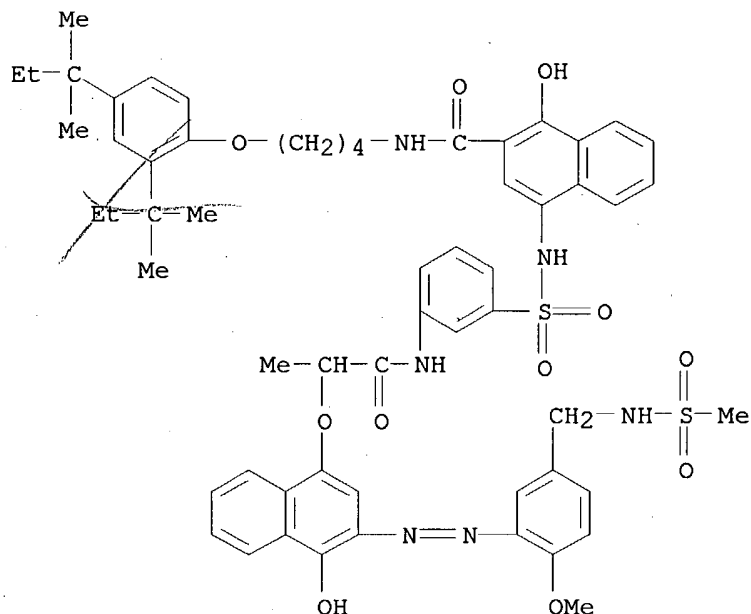
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 54179-14-5 CAPLUS

CN 2-Naphthalenecarboxamide, N-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]-1-hydroxy-4-[[[3-[[2-[[4-hydroxy-3-[[2-methoxy-5-[[[(methylsulfonyl)amino]methyl]phenyl]azo]-1-naphthalenyl]oxy]-1-oxopropyl]amino]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



10/030,061



L22 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1976:107093 CAPLUS  
 DOCUMENT NUMBER: 84:107093  
 TITLE: Magenta image-providing phenylazonaphthyl dyes  
 INVENTOR(S): Eldredge, Carl H.; Haase, Jan R.; Landholm, Richard A.  
 PATENT ASSIGNEE(S): Eastman Kodak Co., USA  
 SOURCE: U.S., 20 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| US 3931144             | A    | 19760106 | US 1974-439816  | 19740205 |
| FR 2217725             | A1   | 19740906 | FR 1973-6644    | 19730226 |
| BE 796040              | A1   | 19730827 | BE 1973-128171  | 19730227 |
| CH 588095              | A    | 19770531 | CH 1974-1853    | 19740211 |
| NL 7401910             | A    | 19740814 | NL 1974-1910    | 19740212 |
| JP 49114424            | A2   | 19741031 | JP 1974-17062   | 19740212 |
| AU 7465489             | A1   | 19750814 | AU 1974-65489   | 19740212 |
| GB 1465183             | A    | 19770223 | GB 1974-6248    | 19740212 |
| PRIORITY APPLN. INFO.: |      |          | US 1973-331728  | 19730212 |

GI For diagram(s), see printed CA Issue.

AB Magenta image-providing dyes I (R = AcNH, EtCONH, BzNH, MeSO<sub>2</sub>NH, OH, H; R<sub>1</sub> = substituted phenyl and naphthyl; Z = direct bond, (CH<sub>2</sub>)<sub>3</sub>, m-C<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>NH) and II (R<sub>2</sub> = H, Ac; R<sub>3</sub> = substituted phenyl), alkali-cleavable upon oxidation, were prepared and their photog. properties determined. Thus, 4-acetamido-5-hydroxy-6-[(2-methoxyphenyl)azo]-1-naphthalenesulfonyl chloride [54178-84-6] was added to 4-amino-N-[4-(2,4-di-tert-pentylphenoxy)butyl]-1-hydroxy-2-naphthamide [42481-11-8] in dry pyridine to give I (R = AcNH, R<sub>1</sub> = o-MeOC<sub>6</sub>H<sub>4</sub>; Z = direct bond; sulfonamide group in 1-position) [58545-91-8]. The other I were similarly prepared

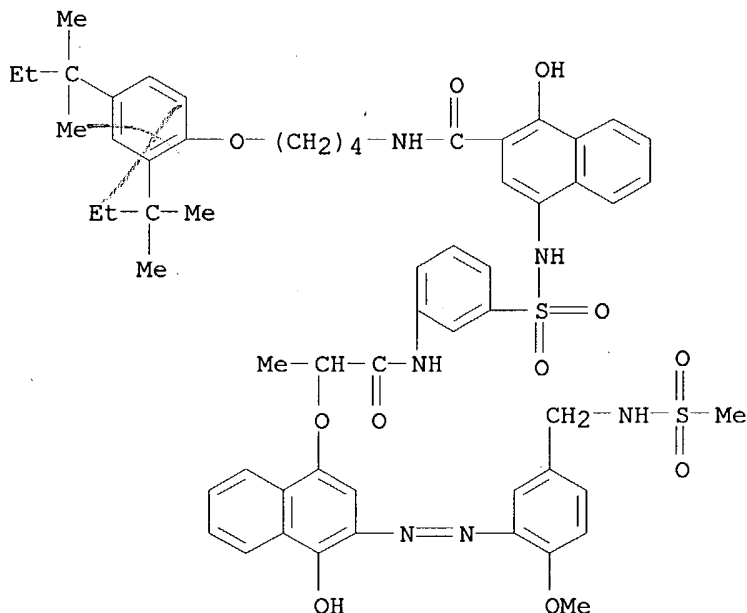
IT 54179-14-5P

10/030,061

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and photog. properties of)

RN 54179-14-5 CAPLUS

CN 2-Naphthalenecarboxamide, N-[4-{2,4-bis(1,1-dimethylpropyl)phenoxy}butyl]-  
1-hydroxy-4-[[[3-[[2-[[4-hydroxy-3-[[2-methoxy-5-  
[[ (methylsulfonyl)amino]methyl]phenyl]azo]-1-naphthalenyl]oxy]-1-  
oxopropyl]amino]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



L22 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:113195 CAPLUS

DOCUMENT NUMBER: 82:113195

TITLE: Light-sensitive photographic recording material

INVENTOR(S): Eldrege, Carl H.; Haase, Han R.; Landholm, Richard A.

PATENT ASSIGNEE(S): Eastman Kodak Co.

SOURCE: Ger. Offen., 64 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| DE 2406627             | A1   | 19740829 | DE 1974-2406627 | 19740212 |
| FR 2217725             | A1   | 19740906 | FR 1973-6644    | 19730226 |
| BE 796040              | A1   | 19730827 | BE 1973-128171  | 19730227 |
| CH 588095              | A    | 19770531 | CH 1974-1853    | 19740211 |
| NL 7401910             | A    | 19740814 | NL 1974-1910    | 19740212 |
| JP 49114424            | A2   | 19741031 | JP 1974-17062   | 19740212 |
| AU 7465489             | A1   | 19750814 | AU 1974-65489   | 19740212 |
| GB 1465183             | A    | 19770223 | GB 1974-6248    | 19740212 |
| PRIORITY APPLN. INFO.: |      |          | US 1973-331728  | 19730212 |

GI For diagram(s), see printed CA Issue.

AB Magenta azo dyes which contain a nondiffusible sulfonamide component and

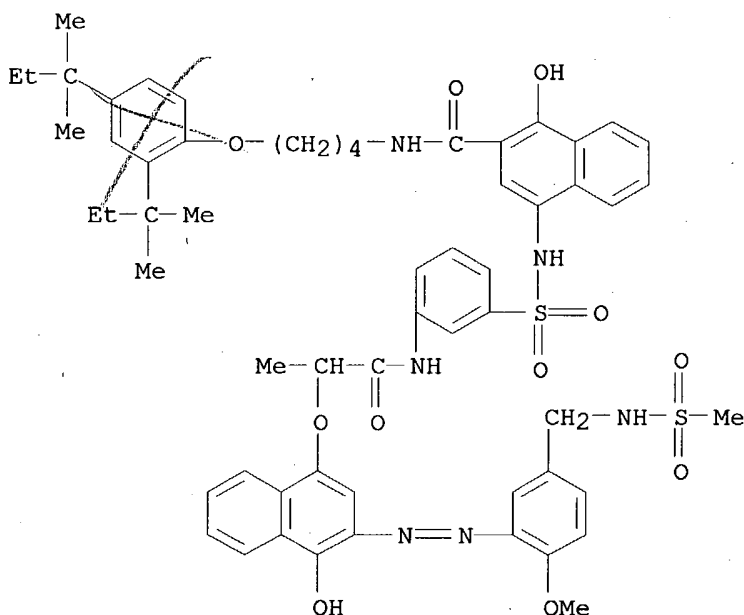
which are rendered diffusible, after oxidation, by cleavage with alkali were prepared and used in dye diffusion transfer photog. materials. Thus, 4-acetamido-5-hydroxy-6-(2-methoxyphenylazo)-1-naphthalenesulfonyl chloride [54178-84-6] was added to a solution of 4-amino-N-[4-(2,4-di-tert-pentylphenoxy)butyl]-1-hydroxy-2-naphthamide [42481-11-8] in pyridine, stirred for 1 hr at 0°, and poured into a HCl-H<sub>2</sub>O mixture to give photog. dye (I) [54179-25-8]. Seventeen similar dyes were also prepared

IT 54179-14-5P

RL: IMF (Industrial manufacture); PREP (Preparation)  
(preparation of)

RN 54179-14-5 CAPLUS

CN 2-Naphthalenecarboxamide, N-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]-1-hydroxy-4-[[[3-[[2-[[4-hydroxy-3-[[2-methoxy-5-[[[(methylsulfonyl)amino]methyl]phenyl]azo]-1-naphthalenyl]oxy]-1-oxopropyl]amino]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



L22 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1973:515384 CAPLUS

DOCUMENT NUMBER: 79:115384

TITLE: Benzofuran series. XLIX. Synthesis of aralkyl- and aryloxyalkyl(2,3-dihydro-2-benzofuryl)methylamines and related structures

AUTHOR(S): Goldenberg, C.; Wandestrück, R.; Binon, F.; Charlier, R.

CORPORATE SOURCE: Cent. Rech., S. A. Labaz N. V., Brussels, Belg.

SOURCE: Chimica Therapeutica (1973), 8(3), 259-70

CODEN: CHTPBA; ISSN: 0009-4374

DOCUMENT TYPE: Journal

LANGUAGE: French

GI For diagram(s), see printed CA Issue.

AB Benzofuran derivs. I (R = H, Cl, Br; R1 = H, OMe; R2 = H, Cl, Me, CMe3, OMe, OH, OCH2Ph; R3 = H, Me, CHMe2, OMe; R2R3 = OCH2O; X = C2-4 alkyl, alkoxy, alkylthio, alkylamino, alkenyl) and their 2,3-dihydro derivs. (62 compds.) were prepared by known methods. Only the 2,3-dihydro derivs. of I

10/030,061

(R = R1 = R3 = H, R2 = H, 4-OMe, X = CH2CH2O) had acceptable peripheral vasodilator activity.

IT **50634-79-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 50634-79-2 CAPLUS

CN 2-Benzofurancarboxamide, 2,3-dihydro-7-methoxy-N-(2-phenoxyethyl)- (9CI)  
(CA INDEX NAME)

